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From: STIC-Biotech/ChemLib
Sent: Thursday, March 27, 2003 2:44 PM
To: STIC-ILL
Subject: FW: Request for 1 Journal Article (09/744,641)

Message to you.

-----Original Message-----
From: Young, Josephine
Sent: Thursday, March 27, 2003 2:34 PM
To: STIC-Biotech/ChemLib
Subject: FW: Request for 1 Journal Article (09/744,641)

10105694

I would like to request the following 1 article for case no. 09/744,641.

M. Tomasz, Chem. Biol. 1995, 2, 575-579.

LC: QP501. C43

Thanks for all your help!

Josephine Young
Employee No. 79813
AU 1623
CM1 8D04
Mailbox: CM1 8B19
605-1201

COMPLETED

=> d his

(FILE 'HOME' ENTERED AT 16:21:51 ON 27 MAR 2003)

FILE 'AGRICOLA, ALUMINIUM, ANABSTR, APOLLIT, AQUIRE, BABS, BIOCOMMERCE, BIOTECHNO, CABA, CAOLD, CAPLUS, CBNB, CEABA-VTB, CEN, CERAB, CIN, COMPENDEX, CONFSCI, COPPERLIT, CORROSION, ENCOMPLIT, ENCOMPLIT2, FEDRIP, GENBANK, INSPEC, INSPHYS, INVESTEXT, IPA, ...' ENTERED AT 16:22:04 ON 27 MAR 2003

L1 89 AZIRIDIN? (S) (ADENOSINE OR ADENOSYL)
L2 91 AZIRIDIN? (S) (ADENOSIN? OR ADENOSYL)
L3 60 DUP REM L2 (31 DUPLICATES REMOVED)
L4 36 L3 NOT PY>1998

=> d l3 total ibib abs

L3 ANSWER 1 OF 60 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 1
ACCESSION NUMBER: 2003:147017 CAPLUS
TITLE: Design of a New Fluorescent Cofactor for DNA Methyltransferases and Sequence-Specific Labeling of DNA
AUTHOR(S): Pljevaljcic, Goran; Pignot, Marc; Weinhold, Elmar
CORPORATE SOURCE: Abteilung Physikalische Biochemie, Max-Planck-Institut fuer Molekulare Physiologie, Dortmund, D-44227, Germany
SOURCE: Journal of the American Chemical Society (2003), 125(12), 3486-3492
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Sequence-specific labeling of DNA is of immense interest for anal. and functional studies of DNA. We present a novel approach for sequence-specific labeling of DNA using a newly designed fluorescent cofactor for the DNA methyltransferase from Thermus aquaticus (M·TaqI). Naturally, M·TaqI catalyzes the nucleophilic attack of the exocyclic amino group of adenine within the double-stranded 5'-TCGA-3' DNA sequence onto the Me group of the cofactor S-adenosyl-L-methionine (AdoMet) leading to Me group transfer. The design of a new fluorescent cofactor for covalent labeling of DNA was based on three criteria: (1) Replacement of the methionine side chain of the natural cofactor AdoMet by an **aziridinyl** residue leads to M·TaqI-catalyzed nucleophilic ring opening and coupling of the whole nucleoside to DNA. (2) The **adenosyl** moiety is the mol. anchor for cofactor binding. (3) Attachment of a fluorophore via a flexible linker to the 8-position of the **adenosyl** moiety does not block cofactor binding. According to these criteria the new fluorescent cofactor 8-amino[1''-(N''-dansyl)-4''-aminobutyl]-5'-(1-aziridinyl)-5'-deoxyadenosine (3) was synthesized. 3 binds about 4-fold better than the natural cofactor AdoMet to M·TaqI and is coupled with a short duplex oligodeoxynucleotide by M·TaqI. The identity of the expected modified nucleoside was verified by electrospray ionization mass spectrometry after enzymic fragmentation of the product duplex. In addition, the new cofactor 3 was used to sequence-specifically label plasmid DNA in a M·TaqI-catalyzed reaction.
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 60 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 2
ACCESSION NUMBER: 2002:964604 CAPLUS
DOCUMENT NUMBER: 138:34117
TITLE: Methods for analyzing nucleic acid methylation and their use in diagnosis and treatment of diseases
INVENTOR(S): Shia, Michael A.; Wong, Gordon G.
PATENT ASSIGNEE(S): U.S. Genomics, Inc., USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002101353	A2	20021219	WO 2002-US18178	20020610
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002197639	A1	20021226	US 2002-165914	20020610

PRIORITY APPLN. INFO.: US 2001-297147P P 20010608
AB The invention relates to methods, products and systems for analyzing nucleic acid mols. based on their in vivo methylation status. The methods can be used to obtain sequence information about the nucleic acid mols., to analyze differential gene expression associated with disorders, and to assess the efficacy of therapeutic treatments that affect methylation status.

L3 ANSWER 3 OF 60 IFIPAT COPYRIGHT 2003 IFI DUPLICATE 3
AN 10253932 IFIPAT;IFIUDB;IFICDB
TITLE: METHODS AND PRODUCTS FOR ANALYZING NUCLEIC ACIDS BASED ON METHYLATION STATUS
INVENTOR(S): Shia; Michael A., Cambridge, MA, US
Wong; Gordon G., Brookline, MA, US
PATENT ASSIGNEE(S): Unassigned
AGENT: Maria A. Trevisan Wolf, Greenfield & Sacks, P.C., Federal Reserve Plaza, 600 Atlantic Avenue, Boston, MA, 02210, US

	NUMBER	PK	DATE
PATENT INFORMATION:	US 2002197639	A1	20021226
APPLICATION INFORMATION:	US 2002-165914		20020610

	NUMBER	DATE
PRIORITY APPLN. INFO.:	US 2001-297147P	20010608 (Provisional)
FAMILY INFORMATION:	US 2002197639	20021226
DOCUMENT TYPE:	Utility	

Patent Application - First Publication
FILE SEGMENT: CHEMICAL
APPLICATION
NUMBER OF CLAIMS: 106

AB The invention relates to methods, products and systems for analyzing nucleic acid molecules based on their in vivo methylation status. The methods can be used to obtain sequence information about the nucleic acid molecules, to analyze differential gene expression associated with disorders, and to assess the efficacy of therapeutic treatments that affect methylation status.

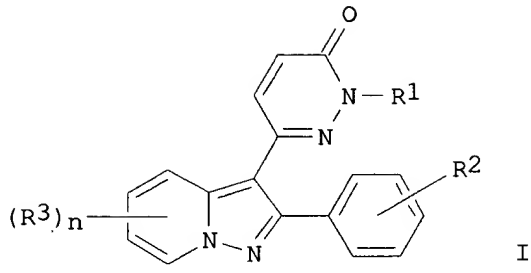
CLMN 106

L3 ANSWER 4 OF 60 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:171898 CAPLUS
DOCUMENT NUMBER: 136:232298
TITLE: Pyrazolopyridine compounds and pharmaceutical use thereof as adenosine receptor antagonists
INVENTOR(S): Akahane, Atsushi; Tanaka, Akira; Minagawa, Masatoshi; Itani, Hiromichi; Ohtake, Hiroaki
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

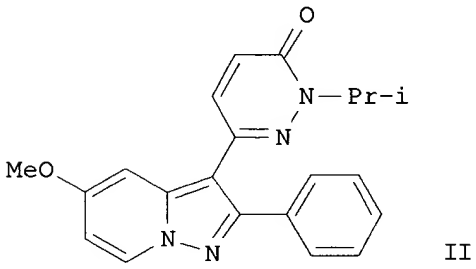
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018382	A1	20020307	WO 2001-JP7322	20010827
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001080188	A5	20020313	AU 2001-80188	20010827

PRIORITY APPLN. INFO.: AU 2000-9698 A 20000828
WO 2001-JP7322 W 20010827

OTHER SOURCE(S): MARPAT 136:232298
GI



I



II

AB Pyrazolopyridines I are disclosed [wherein: R1 = H, (un)substituted lower alkyl or cycloalkyl which may be interrupted by an O or N; R2 = H, halo, or lower alkoxy; R3 = independent substituent(s); and n = 1 to 4; or a salt thereof]. The compds. are adenosine antagonists, and are thus useful for the prevention and/or treatment of a wide variety of medical conditions, e.g., depression, dementia (e.g., Alzheimer's disease, cerebrovascular dementia, dementia accompanying Parkinson's disease, etc.) Parkinson's disease, anxiety, pain, cerebrovascular disease (e.g. stroke, etc.), heart failure, and the like. In particular, treatment of Parkinson's disease and/or associated symptoms is specifically claimed. Over 330 example compds. are described. For instance, cyclization of 1-amino-4-methoxypyridinium iodide with 3-(benzenesulfonyl)-6-(phenylethynyl)pyridazine, gave 3-(3-phenylsulfonylpyridazin-6-yl)-5-methoxy-2-phenylpyrazolo[1,5-a]pyridine. This compound was hydrolyzed at the phenylsulfinyl group, and the resultant pyridazinone was N-alkylated with NaH/DMF and iso-PrI to give title compound II. In radioligand binding assays, II had Ki values of 0.15 nM for human A1 receptors and 1.38 nM for human A2A receptors. In an anticatalepsy test in mice, 6 tested example compds. I at 3.2 mg/kg orally completely suppressed the cataleptic effects of haloperidol at 0.32 mg/kg i.p.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 60 BIOTECHDS COPYRIGHT 2003 THOMSON DERWENT AND ISI
ACCESSION NUMBER: 2003-02723 BIOTECHDS
TITLE: Determining the concentration of free unbound hydrophobic Coenzyme A ester useful for analyzing blood samples comprises allowing at least one species of hydrophobic Coenzyme A ester to bind to hydrophobic Coenzyme A binding construct; free hydrophobic Coenzyme-A ester detection by DNA construct useful for blood analysis
AUTHOR: KNUDSEN J; WADUM M C T; VILLADSEN J; NEERGAARD T B F
PATENT ASSIGNEE: BIOSENSOR APS

PATENT INFO: WO 2002061096 8 Aug 2002
APPLICATION INFO: WO 2001-DK701 24 Oct 2001
PRIORITY INFO: US 2001-262366 19 Jan 2001; DK 2000-1683 10 Nov 2000
DOCUMENT TYPE: Patent
LANGUAGE: English
OTHER SOURCE: WPI: 2002-657493 [70]
AN 2003-02723 BIOTECHDS
AB DERWENT ABSTRACT:
NOVELTY - Determining the concentration of free unbound hydrophobic Coenzyme A ester (I) in the sample by allowing at least one species of (I) to bind to the hydrophobic Coenzyme A binding construct (II) forming a complex of (I) and (II), is new.

DETAILED DESCRIPTION - Determining the concentration of (I) comprises: (a) providing (II) exhibiting a first signal when unbound and exhibiting a measurably different second signal when bound to (I); (b) contacting the sample with the labeled (II); (c) allowing at least one species of (I) to bind to (II), forming a complex of (I) and (II); (d) detecting the signal from the complex; and (e) correlating the signal to the concentration of at least one species of (I) in the sample. INDEPENDENT CLAIMS are also included for the following: (1) a construct for binding (I) comprising a heterologous peptide capable of binding at least one species of (I), and a signal moiety; (2) a kit for detecting the concentration of (I) in the sample comprising at least a first construct according to (1), and a sample compartment for the application of the sample; (3) a nucleotide sequence encoding the heterologous peptide according to (1); (4) an expression vector and a cell comprising the nucleotide sequence in (3); and (5) determining the amount of free hydrophobic carboxylic acids and/or lipid constituents in the sample, comprising: (a) optionally fractionating the sample to obtain a substantially cell-free sample; (b) mixing the substantially cell-free sample with an amount of water-miscible organic solvent to precipitate proteins and obtain a solution of free fatty acids; and (c) subjecting a sample of the supernatant to a quantitative analysis determining the amount of free fatty acids in the sample.

BIOTECHNOLOGY - Preferred Method: In determining the concentration of unbound (I), (I) comprises a heterologous peptide capable of binding at least one species of (I) and a signal moiety. The heterologous peptide comprises an acyl-Coenzyme A binding protein, or its variant or functional equivalent. The acyl-Coenzyme A binding protein comprises an amino acid sequence from any of the 30 fully defined sequences of 85-90 amino acids, given in the specification, or its variant or functional equivalent. The variant or functional equivalent has at least 30-98% sequence identity to one of the 30 sequences mentioned above. The heterologous peptide comprises an acyl-CoenzymeA binding domain, or a bovine ACBP, its variant or functional equivalent. The heterologous peptide further comprises a cysteine or lysine residue for binding the signal moiety. One native amino acid in the heterologous peptide has been substituted by a lysine or cysteine residue for binding the signal moiety. The residue is selected from the amino acid residues aligning the acyl-Coenzyme A binding domain, or having van der Waals' contact with a bound hydrophobic Coenzyme A ester, or amino acid residues being within 5 A from a bound hydrophobic Coenzyme A ester, or making up the alpha-helices of the heterologous peptide. The heterologous peptide comprises a first alpha-helix, A1, a second alpha-helix, A2, a third alpha-helix, A3 and a fourth alpha-helix, A4. The heterologous peptide further comprises a N-terminal peptide linked to A1, an A1-A2 linking peptide, an A2-A3 linking peptide, an A3-A4 linking peptide, and

optionally an N-terminal peptide linked to the C-terminal of A4. The N-terminal peptide comprises at least 3-100 amino acids. The Al-A2 linking peptide comprises 5 to 9 amino acids, the A2-A3 linking peptide comprises 14 to 15 amino acids, the A3-A4 linking peptide comprises 2 peptides, and the optional C-terminal peptide comprises at least 1-1000 amino acids. The substituted amino acid is selected from amino acids number 3 and 4 of the A1-A2 linking peptide, or amino acid number 13 and 14 of the A2-A3 linker. A1, A2, A3, A4 comprises 12, 16, 12 and 20 amino acid residues, respectively. The substituted amino acid residue is selected from amino acids number 6, 9, 10, and 12 of Al; amino acids number 4, 5, 7, 8,11, and 12 of A2; amino acids number 3 and 4 of A3; and amino acid number 9 of A4. The heterologous peptide comprises the bovine ACBP and the native amino acid being replaced by a cysteine residue is selected from Met-24, Leu-25, Ala-53, Asp-21, Lys-50, Lys-54, Lys-18, pro-19, Ala-9, Tyr-31, Lys-32, Tyr-28, Tyr-73, Val-12, Lys-13, Leu-15, or Ile-27. Preferably, the native amino acid is selected from Met-24, Ala-53, and Lys50. The N-terminal peptide comprises an affinity tag such as a poly His tag, preferably a polyHis tag of at least 5-20 residues. The complex formed though the detection of signal has a KD below 2 microM-0.1 nM, and a higher KD with respect to the other species of (I). The one species of (I) is selected from acyl Coenzyme A esters having a C2, C4, C6, C8, C10, C12, C14, C16, C18, C20, C22, C24, C26 acyl group, a saturated, mono-unsaturated or polyunsaturated acyl group, an acyl group comprising a cis double bond, an acyl group comprising a trans double bond, an acyl group comprising a ring structure, or an acyl group comprising a side chain. The signal comprises a fluorescence, chromogenic, chemiluminescence or photoluminescence. The detected signal is the second signal while the first signal is essentially zero, where the detected signal is the difference between the first and second signal. The detected' signal is essentially proportional to the amount of (I), or at least one species of Coenzyme A in the sample. The detected signal from a first species of hydrophobic Coenzyme A ester is essentially 0 and the detected signal from a second species of hydrophobic Coenzyme A is essentially proportional to the amount of the second species in the sample. The first species comprises a saturated or monounsaturated species and the second species comprises an unsaturated or polyunsaturated species or vice versa. The first species further comprises a species with a cis-double bond and the second species comprises a trans-double bond or vice versa. Furthermore, the first species comprises a double bond and the second species comprises a double bond in another position. The detected signal is essentially proportional to the amount of a group of hydrophobic Coenzyme A esters in the sample. The group comprises Coenzyme esters with C2-C6, C8-C12, C12-C16, C16-C20, C12-C20 or C22-C24 acyl groups. The group further comprises Coenzyme A esters with a C6-C10, C10-C14, C14-C18, C18-C22, C4-C8, C8-C16, or C4-C12 acyl groups, or an acyl group comprising more than 20 carbon atoms. The method further comprises converting the hydrophobic acids to (I), or triglycerides and phospholipids to glycerol and fatty acids, prior to the providing step. The conversion is catalyzed by acyl Coenzyme A ligase, lipase, or phospholipase Al and/or phospholipase A2. The conversion comprises acid or basic ester hydrolysis. The sample is selected from blood, urine, milk, tears, feces, sperm, cerebrospinal fluid, nasal secrete, food, feed and mixtures, dilutions, or their extracts. Determining the amount of free hydrophobic carboxylic acid(s) and/or lipid constituents in a sample comprises sample from blood, urine, milk, team, feces, sperm, cerebrospinal fluid, nasal secrete, food, feed and mixtures, dilutions, or their extracts. The sample comprises a blood

sample and the substantially cell-free sample is serum. The solvent is selected from acetone, acetonitrile, dioxane, dimethyl sulfoxide, or dimethyl formamide. The solvent is preferably a low molecular weight alcohol. The low molecular weight alcohol is selected from ethanol, methanol, 1-propanol, 2-propanol, or cyclopropanol, preferably 96% (v/v) ethanol. The method further comprises diluting a sub-sample of the solvent comprising the free fatty acids in a reaction mixture and performing the determination of concentration of free unbound hydrophobic Coenzyme A ester. The method also comprises gas chromatography, HPLC, or binding to a fluorescently modified fatty acid binding protein. Preferred Construct: The signal moiety of the construct comprises a fluorescent, chemiluminescent, photoluminescent, or chromogenic moiety. The signal moiety exhibits a first signal when the construct is unbound and a measurably different second signal when the construct is bound to a hydrophobic-Coenzyme A ester. The signal moiety comprises (6-bromoacetyl-2-dimethylaminonaphtalene) BADAN. The fluorescent moiety comprises a compound selected from acrylodan; 5-dimethylaminonaphthalene-1-sulfonyl **aziridine** (danzyl **aziridine**); 4-(N-(2-iodoacetoxy)ethyl)-N-methylamino)-7-nitrobenz-2-oxa 1,3 diazole ester (IANBDE); 4-(N-(2-iodoacetoxy)ethyl)-N-methylamino)-7-nitrobenz-2-oxa 1,3 diazole amide (IANBDA); 6-acryloyl-2-dimtheylaminonaphthalene (acrylodan); N(7-chlorobenz-2-oxa-1,3-diazyl-4-yl)sulfonyl morpholine; 4-chloro-7-nitrobenz-2oxa-1,3-diazole (NBD chloride); didansyI-L-cystine; N,N'-dimethyl-N-(iodoacetyl)N'-(7-nitrobenz-2-oxa-1,3-diazo-4-yl)ethylenediamine (IANBD amide); 7fluorobenz-2-oxa-1,3-diazole-4-sulfonamide (ABD-F); 4-fluoro-7-nitrobenz-2-oxa-1,3-diazole (NBD fluoride); 2-(4'-(iodoacetamido)anilino)naphtalene-6-sulfonic acid, sodium salt (IAANS); 5-(((2-iodoacetyl)amino)ethyl)amino)naphthalene-1-sulfonic acid (1,5-1AEDANS); 2-(4'-maleimidylanilino)naphtalene-6-sulfonic acid (MIANS); N-(1pyreneethyl)iodoacetamide; N-(1-pyrene)iodoacetamide; N-(1-pyrene)maleimide; N-(1-pyrenemethyl)iodoacetamide (PMIA amide); 1pyrenemethyl iodoacetate (PMIA ester); N-(1-pyrenepropyl)iodoacetamide); 1-(2,3-epoxypropyl)-4-(5-(4-methoxyphenyl)oxazol-2-yl)pyridinium trifluoromethanesulfonate (PyMPO epoxide); erythrosine-5-iodoacetamide; fluorescein-5-maleimide; 5-iodoacetamidofluorescein (5-1AF); 6-iodoacetamidofluorescein (6-1AF); 1-(2-maleimidylethyl)-4-(5-(4-methoxyphenyl)oxazol-2-yl)pyridinium methanesulfonate (PyMPO maleimide); Oregon Green (TM) 488 iodoacetamide mixed isomers; tetramethylrhodamine-5- iodoacetamide (5-TMRIA) single isomer; tetramethylrhodamine-5-maleimide single isomer; tetramethyirhodamine-6-maleimide single isomer; Texas Red (RTM) C5 bromoacetamide; Texas Red C2 maleimide. The construct comprises the second signal moiety as described above. Preferred Kit: The kit comprises an acyl, Coenzyme A synthetase, coenzyme A, **adenosinetriphosphate**, Mg++, an antioxidant, and buffer. The kit further comprises pyrophosphatase; lipase and buffer; phospholipase A1/A2 and buffer; esterase specific for cholesterol esters; or albumin. The compounds are preferably freeze-dried. The hydrophobic Coenzyme A ester-binding construct is immobilized. The construct is immobilized in at least 2-5 different spaces. The kit comprises a second, third, fourth and fifth construct. Each construct has a KD with respect to at least one species or a group of species of hydrophobic Coenzyme A esters, which is substantially lower (preferably 10-100 times lower) than the KD of the other construct(s) with respect to this species or group of species. The first construct is a fluorescence acyl-CoA sensor 1 (FACI 24) and the second construct is a fluorescence acyl-CoA sensor 2 (FACI 53).

USE - The method is useful for analyzing blood samples. The

constructs are useful for measuring free acyl-CoA concentrations of physiological important, highly amphiphatic, medium and long-chain acyl-CoA esters. The kit is useful for detecting the concentration of hydrophobic Coenzyme A ester (claimed).

ADVANTAGE - The method provides an easy and convenient extraction of free hydrophobic acids and lipids with the simultaneous precipitation of proteins that may interfere with the quantitative determination. The method also provides a peptide comprised in the construct with high affinity to hydrophobic CoA esters. The KD of the construct with respect to hydrophobic CoA esters is lower in magnitude than the affinity of prior constructs used for binding of fatty acids. Due to this increased binding affinity, the interference of other potential sinks for hydrophobic CoA esters with the binding assays is markedly reduced, and a much more precise estimation of the concentration of the hydrophobic CoA esters resulted.

EXAMPLE - FACl24 (4 microM) was incubated in a reaction mixture containing: 100 mM Tris/HCl pH 7.4, 1 mm DTT, 2 mM EDTA, 4 mM Mg(Cl)2, 4 mM ATP, 60 microM CoA, 0.30 units/ml Acyl-CoA synthetase and 0.06 units/ml Pyrophosphatase at 37degreesC for 30 minutes. The reaction was started by addition of human serum or free fatty acid standard bound to equimolar amounts of bovine serum albumin. One ml of the reaction mix was added to different amounts of 50 microM palmitic acid to a final concentration of 0.5, 1.0, 1.5, 2.0, 2.5, 3.0 and 6.0 microM. One ml of the reaction mix was added to different amounts of plasma 0, 1, 1.5, 2.0, 2.5, 3.0, 3.5, 4.0, and 4.5 psiL. The mixtures were incubated for 30 minutes at 37degreesC and then the samples were excitated at 400 nm and the emission was measured at 470 nm. Results showed that FACl24, a badan labelled fluorescent modified acyl-CoA indicator, is a highly specific and extremely sensitive probe for free non-esterified fatty acids after conversion to acyl-CoA esters and free C8- to C20-acyl-CoA esters in aqueous in the low nM range. (115 pages)

L3 ANSWER 6 OF 60 USPATFULL

ACCESSION NUMBER:	2002:288347 USPATFULL
TITLE:	Non-enzymatic large scale synthesis of RNA
INVENTOR(S):	Kanavarioti, Anastassia, Santa Cruz, CA, UNITED STATES Deamer, David W., Santa Cruz, CA, UNITED STATES Monnard, Pierre-Alain M., Soquel, CA, UNITED STATES Bernasconi, Claude F., Santa Cruz, CA, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2002161219	A1	20021031	
APPLICATION INFO.:	US 2001-790440	A1	20010221	(9)
DOCUMENT TYPE:	Utility			
FILE SEGMENT:	APPLICATION			
LEGAL REPRESENTATIVE:	LAW OFFICES OF JONATHAN ALAN QUINE, P O BOX 458, ALAMEDA, CA, 94501			
NUMBER OF CLAIMS:	72			
EXEMPLARY CLAIM:	1			
NUMBER OF DRAWINGS:	6 Drawing Page(s)			
LINE COUNT:	1528			

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention pertains to the development of methods that accomplish efficient, non-enzymatic, nucleic acid-directed (e.g. RNA-directed) nucleic acid (e.g. RNA) synthesis. In certain embodiments the methods provide conditions that favor oligouridylate synthesis with excellent

yield and, at least, up to 30% regioselectivity favoring the RNA linkage. The methods preferably involve contacting, in the presence of lead ions (Pb.sup.2+) and/or tin ions (Sn.sup.2+) and, optionally, magnesium ions (Mg.sup.2+), a template nucleic acid with a nucleotide derivatized with an imidazolide.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L3 ANSWER 7 OF 60 USPATFULL

ACCESSION NUMBER: 2002:191154 USPATFULL
TITLE: Diagnostic/therapeutic agents
INVENTOR(S): Klaveness, Jo, Oslo, NORWAY
Rongved, Pal, Oslo, NORWAY
Hogset, Anders, Oslo, NORWAY
Tolleshaug, Helge, Oslo, NORWAY
Cuthbertson, Alan, Oslo, NORWAY
Godal, Aslak, Oslo, NORWAY
Hoff, Lars, Oslo, NORWAY
Gogstad, Geir, Oslo, NORWAY
Bryn, Klaus, Oslo, NORWAY
Naevestad, Anne, Oslo, NORWAY
Lovhaug, Dagfinn, Oslo, NORWAY
Hellebust, Halldis, Oslo, NORWAY
Solbakken, Magne, Oslo, NORWAY
PATENT ASSIGNEE(S): Nycomed Imaging AS (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002102217	A1	20020801
APPLICATION INFO.:	US 2001-925715	A1	20010810 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1997-959206, filed on 28 Oct 1997, PATENTED

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1996-22366	19961028
	GB 1996-22369	19961028
	GB 1997-2195	19970204
	GB 1997-8265	19970424
	GB 1997-11837	19970606
	GB 1997-11839	19970606
	US 1997-49263P	19970607 (60)
	US 1997-49264P	19970606 (60)
	US 1997-49266P	19970607 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Richard E. Fichter, BACON & THOMAS, PLLC, Fourth Floor, 625 Slaters Lane, Alexandria, VA, 22314-1176
NUMBER OF CLAIMS: 38
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 1 Drawing Page(s)
LINE COUNT: 5190

AB Targetable diagnostic and/or therapeutically active agents, e.g. ultrasound contrast agents, comprising a suspension in an aqueous carrier liquid of a reporter comprising gas-containing or gas-generating material, said agent being capable of forming at least two types of binding pairs with a target.

L3 ANSWER 8 OF 60 USPATFULL
ACCESSION NUMBER: 2002:191152 USPATFULL
TITLE: Diagnostic/therapeutic agents
INVENTOR(S): Klaveness, Jo, Oslo, NORWAY
Rongved, Pal, Oslo, NORWAY
Hogset, Anders, Oslo, NORWAY
Tolleshaug, Helge, Oslo, NORWAY
Naevestad, Anne, Oslo, NORWAY
Hellebust, Halldis, Oslo, NORWAY
Hoff, Lars, Oslo, NORWAY
Cuthbertson, Alan, Oslo, NORWAY
Lovhaug, Dagfinn, Oslo, NORWAY
Solbakken, Magne, Oslo, NORWAY
PATENT ASSIGNEE(S): NYCOMED IMAGING AS (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002102215	A1	20020801
APPLICATION INFO.:	US 2001-765614	A1	20010122 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1997-960054, filed on 29 Oct 1997, PATENTED Continuation-in-part of Ser. No. US 1997-958993, filed on 28 Oct 1997, PATENTED

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1996-22366	19961028
	GB 1996-22367	19961028
	GB 1996-22368	19961028
	GB 1997-699	19970115
	GB 1997-8265	19970424
	GB 1997-11842	19970606
	GB 1997-11846	19970606
	US 1997-49264P	19970606 (60)
	US 1997-49265P	19970606 (60)
	US 1997-49268P	19970607 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: BACON & THOMAS, PLLC, 4th Floor, 625 Slaters Lane, Alexandria, VA, 22314-1176
NUMBER OF CLAIMS: 37
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 2 Drawing Page(s)
LINE COUNT: 6583
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Targetable diagnostic and/or therapeutically active agents, e.g. ultrasound contrast agents, having reporters comprising gas-filled microbubbles stabilized by monolayers of film-forming surfactants, the reporter being coupled or linked to at least one vector.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L3 ANSWER 9 OF 60 USPATFULL
ACCESSION NUMBER: 2002:332611 USPATFULL
TITLE: Mitomycin biosynthetic gene cluster
INVENTOR(S): Sherman, David H., St. Louis Park, MN, United States

Mao, Yingqing, St. Paul, MN, United States
Varoglu, Mustafa, St. Paul, MN, United States
He, Min, St. Paul, MN, United States
Sheldon, Paul, Fitchburg, WI, United States
PATENT ASSIGNEE(S): Regents of the University of Minnesota, Minneapolis, MN, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6495348	B1	20021217
APPLICATION INFO.:	US 1999-266965		19990312 (9)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 624447
Continuation-in-part of Ser. No. US 1993-133963, filed on 7 Oct 1993, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Achutamurthy, Ponnathapu
ASSISTANT EXAMINER: Kerr, Kathleen
LEGAL REPRESENTATIVE: Schwegman, Lundberg, Woessner & Kluth, P.A.
NUMBER OF CLAIMS: 25
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 79 Drawing Figure(s); 78 Drawing Page(s)
LINE COUNT: 10101
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention provides a biosynthetic gene cluster for mitomycin, for example, a mitomycin biosynthetic cluster from organisms such as Streptomyces, for instance, S. lavendulae, as well as methods of using gene(s) within the cluster to alter antibiotic biosynthesis and to prepare a polyketide synthase.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L3 ANSWER 10 OF 60 USPATFULL
ACCESSION NUMBER: 2002:108624 USPATFULL
TITLE: N-[1, (1-1) -dialkyloxy] - and N- [1, (1-1) -dialkenyloxy]- alk-1-yl-N,N,N-tetrasubstituted ammonium lipids and uses therefor
INVENTOR(S): Eppstein, Deborah A., 3401 Hillview Ave., P.O. Box 10850, Palo Alto, CA, United States 94303
Felgner, Philip L., 3401 Hillview Ave., P.O. Box 10850, Palo Alto, CA, United States 94303
Gadek, Thomas R., 3401 Hillview Ave., P.O. Box 10850, Palo Alto, CA, United States 94303
Jones, Gordon H., 3401 Hillview Ave., P.O. Box 10850, Palo Alto, CA, United States 94303
Roman, Richard B., 3401 Hillview Ave., P.O. Box 10850, Palo Alto, CA, United States 94303

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6387395	B1	20020514
APPLICATION INFO.:	US 1994-348635		19941202 (8)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1994-237807, filed on 5 May 1994, now patented, Pat. No. US 5622712 Division of Ser. No. US 1993-15738, filed on 10 Feb 1993, now patented, Pat. No. US 5366737 Division of Ser. No. US 1990-614412, filed on 16 Nov 1990, now patented, Pat.

No. US 5208036 Division of Ser. No. US 1990-524257, filed on 15 May 1990, now patented, Pat. No. US 5049386 Division of Ser. No. US 1989-428815, filed on 27 Oct 1989, now patented, Pat. No. US 4946787 Division of Ser. No. US 1987-114809, filed on 29 Oct 1987, now patented, Pat. No. US 4897355 Continuation-in-part of Ser. No. US 1986-877916, filed on 24 Jun 1986, now abandoned Continuation-in-part of Ser. No. US 1985-689407, filed on 7 Jan 1985, now abandoned

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Kishore, Gollamudi S.
NUMBER OF CLAIMS: 26
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Figure(s); 3 Drawing Page(s)
LINE COUNT: 3019
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention relates to compounds of the formula ##STR1##

or an optical isomer thereof wherein R.sup.1 and R.sup.2 are the same or different and are an alkyl or alkenyl group of 6 to 24 carbon atoms; R.sup.3, R.sup.4 and R.sup.5 are the same or different and are alkyl of 1 to 8 carbon atoms, aryl, aralkyl of 7 to 11 carbon atoms, or when two or three of R.sup.3, R.sup.4, and R.sup.5 are taken together to form quinuclidino, piperidino, pyrrolidino, or morpholino; n is 1 to 8; and X is a pharmaceutically acceptable anion.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L3 ANSWER 11 OF 60 WPIDS (C) 2003 THOMSON DERWENT
ACCESSION NUMBER: 2002-657493 [70] WPIDS
DOC. NO. NON-CPI: N2002-519835
DOC. NO. CPI: C2002-184482
TITLE: Determining the concentration of free unbound hydrophobic Coenzyme A ester useful for analyzing blood samples comprises allowing at least one species of hydrophobic Coenzyme A ester to bind to hydrophobic Coenzyme A binding construct.
DERWENT CLASS: B04 D16 S03
INVENTOR(S): KNUDSEN, J; NEERGAARD, T B F; VILLADSEN, J; WADUM, M C T
PATENT ASSIGNEE(S): (BIOS-N) BIOSENSOR APS
COUNTRY COUNT: 94
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2002061096	A1	20020808	(200270)*	EN	115
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZW					
W: AE AG AL AM AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU DM DZ EC ES GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PH PL PT RO RU SD SE SG SI SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW					
US 2002142347	A1	20021003	(200272)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2002061096 A1		WO 2001-DK701	20011024
US 2002142347 A1	Provisional	US 2001-262366P	20010119
		US 2001-987108	20011113

PRIORITY APPLN. INFO: US 2001-262366P 20010119; DK 2000-1683 20001110

AN 2002-657493 [70] WPIDS

AB WO 200261096 A UPAB: 20021031

NOVELTY - Determining the concentration of free unbound hydrophobic Coenzyme A ester (I) in the sample by allowing at least one species of (I) to bind to the hydrophobic Coenzyme A binding construct (II) forming a complex of (I) and (II), is new.

DETAILED DESCRIPTION - Determining the concentration of (I) comprises:

(a) providing (II) exhibiting a first signal when unbound and exhibiting a measurably different second signal when bound to (I);

(b) contacting the sample with the labeled (II);

(c) allowing at least one species of (I) to bind to (II), forming a complex of (I) and (II);

(d) detecting the signal from the complex; and

(e) correlating the signal to the concentration of at least one species of (I) in the sample.

INDEPENDENT CLAIMS are also included for the following:

(1) a construct for binding (I) comprising a heterologous peptide capable of binding at least one species of (I), and a signal moiety;

(2) a kit for detecting the concentration of (I) in the sample comprising at least a first construct according to (1), and a sample compartment for the application of the sample;

(3) a nucleotide sequence encoding the heterologous peptide according to (1);

(4) an expression vector and a cell comprising the nucleotide sequence in (3); and

(5) determining the amount of free hydrophobic carboxylic acids and/or lipid constituents in the sample, comprising:

(a) optionally fractionating the sample to obtain a substantially cell-free sample;

(b) mixing the substantially cell-free sample with an amount of water-miscible organic solvent to precipitate proteins and obtain a solution of free fatty acids; and

(c) subjecting a sample of the supernatant to a quantitative analysis determining the amount of free fatty acids in the sample.

USE - The method is useful for analyzing blood samples. The constructs are useful for measuring free acyl-CoA concentrations of physiological important, highly amphiphatic, medium and long-chain acyl-CoA esters. The kit is useful for detecting the concentration of hydrophobic Coenzyme A ester (claimed).

ADVANTAGE - The method provides an easy and convenient extraction of free hydrophobic acids and lipids with the simultaneous precipitation of proteins that may interfere with the quantitative determination. The method also provides a peptide comprised in the construct with high affinity to hydrophobic CoA esters. The KD of the construct with respect to hydrophobic CoA esters is lower in magnitude than the affinity of prior constructs used for binding of fatty acids. Due to this increased binding affinity, the interference of other potential sinks for hydrophobic CoA

esters with the binding assays is markedly reduced, and a much more precise estimation of the concentration of the hydrophobic CoA esters resulted.
Dwg.0/14

L3 ANSWER 12 OF 60 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 4
ACCESSION NUMBER: 2002:649155 CAPLUS
DOCUMENT NUMBER: 137:338087
TITLE: Expeditious synthesis of aziridine-based cofactor mimics
AUTHOR(S): Comstock, Lindsay R.; Rajski, Scott R.
CORPORATE SOURCE: School of Pharmacy, University of Wisconsin-Madison, Madison, WI, 53705, USA
SOURCE: Tetrahedron (2002), 58(30), 6019-6026
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB S-Adenosyl-L-methionine mimics were synthesized in a linear fashion highlighting methodol. that bypasses the need for adenine base protection. These aziridine-based cofactor mimics are envisioned as useful biochem. tools and potential therapeutic agents whose mechanism of action hinges upon aberrant methyltransferase enzymes. **Aziridination** of the 5' position of **adenosine** was effected by convergence of suitably protected 5'-aminoadenosine with various dibromopropionates. The economy and high yields for this route to said aziridine-based cofactors is highly amenable to large-scale chem. which no doubt will be vital to their development as therapeutics and biochem. tools.
REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 60 USPATFULL
ACCESSION NUMBER: 2001:223722 USPATFULL
TITLE: CATIONIC REAGENTS OF TRANSFECTION
INVENTOR(S): ERBACHER, CHRISTOPH, HAAN, Germany, Federal Republic of
WEBER, MARTIN, LEICHLINGEN, Germany, Federal Republic of

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2001048939	A1	20011206
APPLICATION INFO.:	US 1999-304995	A1	19990504 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. WO 1997-EP6035, filed on 3 Nov 1997, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-30315P	19961104 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: LEON R YANKWICH, YANKWICH & ASSOCIATES, 130 BISHOP ALLEN DRIVE, CAMBRIDGE, MA, 02139
NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
LINE COUNT: 1038
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Cationic cytofectins and liposomes comprising the same are disclosed

which are especially useful for delivering exogenous compounds into cells in vitro and in vivo. The liposomes may comprise (a) a neutral lipid such as dioleoylphosphatidyl-ethanolamine (DOPE) or similar lipid-like compounds such as 1,2-dioleoyl-oxiphosphatidylethanolamine or other lipid-like structures and (b) one or more of the cationic cytofectins provided herein. The invention provides transfection kits and methods for delivery of exogenous compounds into cells.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L3 ANSWER 14 OF 60 USPATFULL

ACCESSION NUMBER:	2001:231041 USPATFULL
TITLE:	Targeted diagnostic/therapeutic agents having more than one different vectors
INVENTOR(S):	Klaveness, Jo, Olso, Norway Rongved, PÅl, Olso, Norway H.o slashed.gset, Anders, Olso, Norway Tolleshaug, Helge, Olso, Norway Cuthbertson, Alan, Olso, Norway Hoff, Lars, Olso, Norway Bryn, Klaus, Olso, Norway Hellebust, Halldis, Olso, Norway Solbakken, Magne, Olso, Norway
PATENT ASSIGNEE(S):	Nycomed Imaging AS, Oslo, Norway (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6331289	B1	20011218	
APPLICATION INFO.:	US 1997-959206		19971028	(8)

	NUMBER	DATE	
PRIORITY INFORMATION:	GB 1996-22366	19961028	
	GB 1996-22369	19961028	
	GB 1997-2195	19970204	
	GB 1997-8265	19970424	
	GB 1997-11837	19970606	
	GB 1997-11839	19970606	
	US 1997-49263P	19970606	(60)
	US 1997-49266P	19970607	(60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	GRANTED
PRIMARY EXAMINER:	Hartley, Michael G.
LEGAL REPRESENTATIVE:	Bacon & Thomas
NUMBER OF CLAIMS:	22
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	1 Drawing Figure(s); 1 Drawing Page(s)
LINE COUNT:	4091

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Targetable diagnostic and/or therapeutically active agents, e.g. ultrasound contrast agents, comprising a suspension in an aqueous carrier liquid of a reporter comprising gas-containing or gas-generating material, said agent being capable of forming at least two types of binding pairs with a target.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L3 ANSWER 15 OF 60 USPATFULL
ACCESSION NUMBER: 2001:116526 USPATFULL
TITLE: Targeted ultrasound contrast agents
INVENTOR(S): Klaveness, Jo, Oslo, Norway
Rongved, PÅl, Oslo, Norway
L.o slashed.vhaug, Dagfinn, Oslo, Norway
PATENT ASSIGNEE(S): Nycomed Imaging AS, Oslo, Norway (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6264917	B1	20010724
APPLICATION INFO.:	US 1997-958993		19971028 (8)

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1996-22366	19961028
	GB 1996-22367	19961028
	GB 1996-22368	19961028
	GB 1997-699	19970115
	GB 1997-8265	19970424
	GB 1997-11842	19970606
	GB 1997-11846	19970606
	US 1997-49264P	19970607 (60)
	US 1997-49268P	19970607 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Hartley, Michael G.
LEGAL REPRESENTATIVE: Bacon & Thomas
NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 2 Drawing Figure(s); 2 Drawing Page(s)
LINE COUNT: 5477
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Targetable diagnostic and/or therapeutically active agents, e.g. ultrasound contrast agents, having reporters comprising gas-filled microbubbles stabilised by monolayers of film-forming surfactants, the reporter being coupled or linked to at least one vector.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L3 ANSWER 16 OF 60 USPATFULL
ACCESSION NUMBER: 2001:111808 USPATFULL
TITLE: Diagnostic/therapeutic agents having microbubbles coupled to one or more vectors
INVENTOR(S): Klaveness, Jo, Oslo, Norway
Rongved, PÅl, Oslo, Norway
H.o slashed.gset, Anders, Oslo, Norway
Tolleshaug, Helge, Oslo, Norway
N.ae butted.vestad, Anne, Oslo, Norway
Hellebust, Halldis, Oslo, Norway
Hoff, Lars, Oslo, Norway
Cuthbertson, Alan, Oslo, Norway
L.o slashed.vhaug, Dagfinn, Oslo, Norway
Solbakken, Magne, Oslo, Norway
PATENT ASSIGNEE(S): Nycomed Imaging AS, Oslo, Norway (non-U.S. corporation)

	NUMBER	KIND	DATE

PATENT INFORMATION:	US 6261537	B1	20010717
APPLICATION INFO.:	US 1997-960054		19971029 (8)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1997-958993, filed on 28 Oct 1997		

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1996-22366	19961028
	GB 1996-22367	19961028
	GB 1996-22368	19961028
	GB 1997-699	19970115
	GB 1997-8265	19970424
	GB 1997-11842	19970606
	GB 1997-11846	19970606
	US 1997-49264P	19970607 (60)
	US 1997-49265P	19970607 (60)
	US 1997-49268P	19970607 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Hartley, Michael G.
LEGAL REPRESENTATIVE: Bacon & Thomas, Fichter, Richard E.
NUMBER OF CLAIMS: 22
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 2 Drawing Figure(s); 2 Drawing Page(s)
LINE COUNT: 5614
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

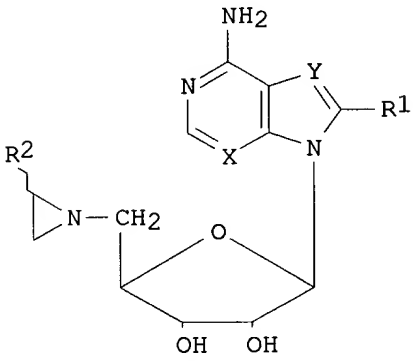
AB Targetable diagnostic and/or therapeutically active agents, e.g. ultrasound contrast agents, having reporters comprising gas-filled microbubbles stabilised by monolayers of film-forming surfactants, the reporter being coupled or linked to at least one vector.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L3 ANSWER 17 OF 60 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:98580 CAPLUS
DOCUMENT NUMBER: 132:148496
TITLE: Aziridine-containing cofactors for methyltransferases and their use in labeling of nucleic acids and proteins
INVENTOR(S): Pignot, Marc; Weinhold, Elmar
PATENT ASSIGNEE(S): Max-Planck-Gesellschaft Zur Forderung Der Wissenschaften E.V., Germany
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000006587	A1	20000210	WO 1999-EP5405	19990728
W: CA, JP, LT, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2338721	AA	20000210	CA 1999-2338721	19990728

EP 1102781 A1 20010530 EP 1999-938363 19990728
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI
JP 2002521488 T2 20020716 JP 2000-562384 19990728
PRIORITY APPLN. INFO.: EP 1998-114201 A 19980729
WO 1999-EP5405 W 19990728
OTHER SOURCE(S): MARPAT 132:148496
GI



I

AB **Aziridine** derivs. [I; X=N, CH; Y=N, CR3; R1,R3=H, 3H, NH(CH2)nNHR4, NH(C2H5O)nC2H5NHR4; R4=fluorophore, affinity tag, crosslinking agent, peptides, etc.; n=1-5000; R2=R1, CH2CH(COOH)(NH2)] are disclosed which can be used as cofactor for S-**adenosyl**-L-methionine-dependent methyltransferases. I and methyltransferases may be used to label nucleic acids and proteins. Thus, I (X,Y=N; R1,R2=H) was synthesized and used to label double-stranded oligonucleotide substrates of DNA methyltransferase TaqI and HhaI.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 60 DRUGU COPYRIGHT 2003 THOMSON DERWENT
ACCESSION NUMBER: 2000-32573 DRUGU P B
TITLE: A molecular model for DNA cross-linking by the antitumor agent azinomycin B.
AUTHOR: Alcaro S; Coleman R S
CORPORATE SOURCE: Univ.Catanzaro; Univ.Ohio-State
LOCATION: Catanzaro, It.; Columbus, Ohio, USA
SOURCE: J.Med.Chem. (43, No. 15, 2783-88, 2000) 5 Fig. 4 Tab. 23 Ref.
CODEN: JMCMAR ISSN: 0022-2623
AVAIL. OF DOC.: Department of Chemistry and Comprehensive Cancer Center, The Ohio State University, 100 West 18th Avenue, Columbus, Ohio 43210-1185, U.S.A. (R.S.C.). (e-mail: coleman@osu.edu).
LANGUAGE: English
DOCUMENT TYPE: Journal
FIELD AVAIL.: AB; LA; CT
FILE SEGMENT: Literature
AN 2000-32573 DRUGU P B
AB A computational model for the covalent interstrand DNA cross-linking of

the antitumor agent azinomycin B was developed based on Monte Carlo simulations of the 4 possible monoalkylation species and an examination of the low energy conformations of the cross-linked agent. The model was generated using a suitably modified version of the AMBER force field via the experimentally determined triplet DNA target sequence 5'-d(GCT)-3' in both the native B-form and containing a preformed intercalation site. Evidence indicated that cross-linking occurred via initial alkylation of the **adenosine** by the **aziridine** C10 followed by alkylation of the guanosine by the epoxide C21.
ABEX (WS)

L3 ANSWER 19 OF 60 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:459574 CAPLUS
DOCUMENT NUMBER: 133:222946
TITLE: Synthesis of 5'-N-(2-[18F]Fluoroethyl)-carboxamidoadenosine: a promising tracer for investigation of adenosine receptor system by PET technique
AUTHOR(S): Lehel, Sz.; Horvath, G.; Boros, I.; Mikecz, P.; Marian, T.; Szentmiklosi, A. J.; Tron, L.
CORPORATE SOURCE: Positron Emission Tomograph Centre, University Medical School of Debrecen, Debrecen, H-4026, Hung.
SOURCE: Journal of Labelled Compounds & Radiopharmaceuticals (2000), 43(8), 807-815
CODEN: JLCRD4; ISSN: 0362-4803
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:222946
AB 5'-N-(2-[18F]Fluoroethyl)-carboxamidoadenosine ([18F]FNECA), a promising 18F-labeled adenosine agonist has been prepared by two different synthetic routes. In the first, [18F]fluoride was reacted with 5'-N,N-ethylene-2',3'-O-isopropylidenecarboxamido-adenosine and, after removing the protective group, [18F]FNECA was obtained in a low radiochem. yield (1±1%, mean±sd, n=7, decay corrected). In the second, 2-[18F]fluoroethylamine was synthesized according to the literature and reacted with 2',3'-O-isopropylideneadenosine-5'-uronic acid in the presence of a coupling agent. The following hydrolysis step provided the [18F]FNECA with a modest radiochem. yield (24±9%, n=17, based on [18F]fluoride-activity). After purification by preparative reverse phase HPLC 18.9-166.5 MBq (0.51-4.5 mCi) [18F]FNECA was obtained with a specific activity of 2.35±1.14 TBq/mmol (63.5±30.9 Ci/mmol, n=3). The total synthesis took 200 min and the decay corrected radiochem. yield based on [18F]F- activity was 17±9% (n=5) with more than 99.9% radiochem. purity. This second route provides sufficient [18F]FNECA for the subsequent biol. evaluation using PET-technique.
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 60 WPIDS (C) 2003 THOMSON DERWENT
ACCESSION NUMBER: 2000-105562 [09] WPIDS
DOC. NO. CPI: C2000-031619
TITLE: Externally triggered microcapsules for delivering anticancer drug, or its precursor, anesthetic, antibiotic, antifungal, antiviral, antiparasitic, anti-inflammatory and thrombolytic agents to humans.
DERWENT CLASS: A96 B05 B07

INVENTOR(S): MORRISON, D R; MOSIER, B
PATENT ASSIGNEE(S): (USAS) NASA/JOHNSON SPACE CENT
COUNTRY COUNT: 20
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 9959556	A1	19991125	(200009)*	EN	60
RW: AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE					
W: JP					
EP 1077686	A1	20010228	(200113)	EN	
R: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE					

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 9959556	A1	WO 1999-US10656	19990514
EP 1077686	A1	EP 1999-923048	19990514
		WO 1999-US10656	19990514

FILING DETAILS:

PATENT NO	KIND		PATENT NO
EP 1077686	A1	Based on	WO 9959556

PRIORITY APPLN. INFO: US 1998-79758 19980515
AN 2000-105562 [09] WPIDS
AB WO 9959556 A UPAB: 20000218
NOVELTY - Microcapsule (I) comprises immiscible liquid phases (124,128) with one or more energy absorbing components (136) (II) enclosed in outer polymer membrane (III) (122) (II) in contact with (III) has higher specific absorption rate of magnetic, radio frequency, microwave and ultrasound than the specific absorption rate of (II) and (III).

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:

(i) composition comprising (I) containing magnetic particles having Curie point higher than the melting temperature of (III), where the magnetic particles in first portion and second portion have different Curie points;

(ii) controlling the release of drug by exposing drug solution comprising (I) to an energy source effective to heat the internal component and release the drug by melting or portion of (III); and

(iii) production of (I).

USE - (I) comprising anticancer drugs photofrin or dibenzoporphyrin when infused into an artery upstream of tumor in conjunction with hyperthermal therapy is useful in treating tumor. (I) is useful for delivering anticancer drug, arits precursor, an anesthetic, an antibiotic, an antifungal, an antiviral, antiparasitic, anti-inflammatory, thrombolytic agents into humans.

ADVANTAGE - Can release the drug without damaging the surrounding tissues and coencapsulation of radio contrast medium enables the oncologist to monitor delivery of antitumor (I) to target tumors using computerized tomography and radiography. Since the outer membrane of (I) is not recognized by immune cells and amount of drug delivered to tissues is increased. Multilayered microcapsule can entrap multiple drugs

hydrophobic and hydrophilic compartments in (I) permits delivery of both water soluble and non-water soluble drugs in same microcapsule.

DESCRIPTION OF DRAWING(S) - The figure shows the schematic drawing of drug or enzyme contained in microcapsule.

Outer polymer membrane; 122
Liquid phases; 124,128
Metal particle 136

Dwg.1A/2

L3 ANSWER 21 OF 60 BIOTECHNO COPYRIGHT 2003 Elsevier Science B.V.DUPLICATE

ACCESSION NUMBER: 1999:29455575 BIOTECHNO
TITLE: Palladium-catalyzed amination of 6-chloropurine. Synthesis of N.sup.6- substituted adenosine analogues
AUTHOR: Barends J.; Van der Linden J.B.; Van Delft F.L.; Koomen G.-J.
CORPORATE SOURCE: J. Barends, Laboratory of Organic Chemistry, Institute of Molecular Chemistry, University of Amsterdam, Nieuwe Achtergracht 129, NL-1018 WS Amsterdam, Netherlands.
SOURCE: Nucleosides and Nucleotides, (1999), 18/9 (2121-2126) CODEN: NUNUD5 ISSN: 0732-8311
DOCUMENT TYPE: Journal; Article
COUNTRY: United States
LANGUAGE: English
SUMMARY LANGUAGE: English
AN 1999:29455575 BIOTECHNO
AB Room-temperature treatment of persilylated 6-chloro-9-β-D-ribofuranosylpurine with a variety of aliphatic and aromatic amines, in the presence of Pd.sup.2(dba).sub.3, BINAP and base, leads to N.sup.6-substituted **adenosine** analogues in fair to good yields. Coupling of chloropurine with a chiral **aziridinyl** diester is applied in the synthesis of a potential adenylosuccinate lyase inhibitor.

L3 ANSWER 22 OF 60 USPATFULL

ACCESSION NUMBER: 97:33507 USPATFULL
TITLE: N-[ω, (ω-1)-dialkyloxy]- and N-[ω, (ω-1)-dialkenyloxy]-alk-1-yl-N, N, N-tetrasubstituted ammonium lipids and uses therefor
INVENTOR(S): Eppstein, Deborah A., Menlo Park, CA, United States
Felgner, Philip L., Los Altos, CA, United States
Gadek, Thomas R., Oakland, CA, United States
Jones, Gordon H., Cupertino, CA, United States
Roman, Richard B., Fairhope, AL, United States
PATENT ASSIGNEE(S): Syntex (U.S.A.) Inc., Palo Alto, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5622712		19970422
APPLICATION INFO.:	US 1994-237807		19940504 (8)

RELATED APPLN. INFO.: Division of Ser. No. US 1993-15738, filed on 10 Feb 1993, now patented, Pat. No. US 5336502 which is a division of Ser. No. US 1990-614412, filed on 16 Nov 1990, now patented, Pat. No. US 5208036 which is a division of Ser. No. US 1990-524257, filed on 15 May 1990, now patented, Pat. No. US 5049386 which is a division of Ser. No. US 1989-428815, filed on 27 Oct

1989, now patented, Pat. No. US 4946787 which is a division of Ser. No. US 1987-114809, filed on 29 Oct 1987, now patented, Pat. No. US 4897355 which is a continuation-in-part of Ser. No. US 1986-877916, filed on 24 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-689407, filed on 7 Jan 1985, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Azpuru, Carlos
LEGAL REPRESENTATIVE: Heller Ehrman White & McAuliffe
NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Figure(s); 3 Drawing Page(s)
LINE COUNT: 3038
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention relates to compounds of the formula ##STR1## or an optical isomer thereof wherein R.sup.1 and R.sup.2 are the same or different and are an alkyl or alkenyl group of 6 to 24 carbon atoms; R.sup.3, R.sup.4, R.sup.5 are the same or different and are alkyl of 1 to 8 carbon atoms, aryl, aralkyl of 7 to 11 carbon atoms, or when two or three of R.sup.3, R.sup.4, and R.sup.5 are taken together to form quinuclidino, piperidino, pyrrolidino, or morpholino; n is 1 to 8; and X is a pharmaceutically acceptable anion.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L3 ANSWER 23 OF 60 SCISEARCH COPYRIGHT 2003 ISI (R) DUPLICATE 6
ACCESSION NUMBER: 97:412642 SCISEARCH
THE GENUINE ARTICLE: XA555
TITLE: Substrate-based inhibitors of the (S)-adenosyl-L-methionine:Delta(24(25))- to Delta(24(28))-sterol methyl transferase from Saccharomyces cerevisiae
AUTHOR: Nes W D (Reprint); Guo D A; Zhou W
CORPORATE SOURCE: TEXAS TECH UNIV, DEPT CHEM & BIOCHEM, LUBBOCK, TX 79409 (Reprint)
COUNTRY OF AUTHOR: USA
SOURCE: ARCHIVES OF BIOCHEMISTRY AND BIOPHYSICS, (1 JUN 1997) Vol. 342, No. 1, pp. 68-81.
Publisher: ACADEMIC PRESS INC JNL-COMP SUBSCRIPTIONS, 525 B ST, STE 1900, SAN DIEGO, CA 92101-4495.
ISSN: 0003-9861.
DOCUMENT TYPE: Article; Journal
FILE SEGMENT: LIFE
LANGUAGE: English
REFERENCE COUNT: 40
ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS
AB A series of 31 side-chain-modified analogs of cholesterol, zymosterol, lanosterol, and cycloartenol and the steroidal alkaloids solasodine and solanidine were studied as inhibitors of (S)-**adenosyl**-L-methionine:Delta(24(25))-sterol methyl transferase (SMT) enzyme activity from Saccharomyces cerevisiae. Two classes of sterol methylation inhibitors were tested: substrate analogs, including mechanism-based inhibitors, and transition state analogs. Several novel sterol methylation inhibitors that contained an aza, **aziridine**, Or ammonium group in the sterol side chain were prepared and tested for the first time. The degree and kinetic pattern of methylation inhibition were found to be

influenced by the position and nature of the variant functional group introduced into the side chain. The most potent inhibitors of SMT enzyme activity were transition state analog inhibitors (K-i values of 5 to 10 nM) that mimicked the structure and conformation of the natural substrate presumed to form in the ternary complex generated in the transition state. Steroidal alkaloids were potent competitive inhibitors with a values ranging from 2 to 30 mu M, which is about the K-mapp of zymosterol, ca. 27 mu M. An isosteric analog of the natural substrate, zymosterol, in which the 26/27-gem-dimethyl groups were joined to form a cyclopropylidene function is shown to be a potent irreversible mechanism-based inactivator of SMT enzyme activity that exhibits competitive-type inhibition, K-i 48 mu M with a K-inact of 1.52 min(-1). Mechanistic implications of these results provide new insights into the topology of the ternary complex involving sterol-AdoMet-enzyme. (C) 1997 Academic Press.

L3 ANSWER 24 OF 60 USPATFULL

ACCESSION NUMBER:	96:77939 USPATFULL
TITLE:	N-(1,(1-1)-dialkyloxy)-and N-(1,(1-1)-dialkenyloxy alk-1-yl-N-N,N-tetrasubstituted ammonium lipids and uses therefor
INVENTOR(S):	Eppstein, Deborah A., Menlo Park, CA, United States Felgner, Philip L., Los Altos, CA, United States Gadek, Thomas R., Oakland, CA, United States Jones, Gordon H., Cupertino, CA, United States Roman, Richard B., Fairhope, AL, United States
PATENT ASSIGNEE(S):	Syntex (U.S.A.) Inc., Palo Alto, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5550289		19960827
APPLICATION INFO.:	US 1995-415963		19950403 (8)

RELATED APPLN. INFO.: Division of Ser. No. US 1994-237807, filed on 4 May 1994 which is a division of Ser. No. US 1993-15738, filed on 10 Feb 1993, now patented, Pat. No. US 5366737 which is a division of Ser. No. US 1990-614412, filed on 16 Nov 1990, now patented, Pat. No. US 5208036 which is a division of Ser. No. US 1990-524257, filed on 15 May 1990, now patented, Pat. No. US 5049386 which is a division of Ser. No. US 1989-428815, filed on 27 Oct 1989, now patented, Pat. No. US 4946787 which is a division of Ser. No. US 1987-114809, filed on 29 Oct 1987, now patented, Pat. No. US 4897355 which is a continuation-in-part of Ser. No. US 1986-877916, filed on 24 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-689407, filed on 7 Jan 1985, now abandoned

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Azpuru, Carlos
LEGAL REPRESENTATIVE:	Heller Ehrman White & McAuliffe
NUMBER OF CLAIMS:	13
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	3 Drawing Figure(s); 3 Drawing Page(s)
LINE COUNT:	3043

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to compounds of the formula ##STR1## or an

optical isomer thereof wherein R.sup.1 and R.sup.2 are the same or different and are an alkyl or alkenyl group of 6 to 24 carbon atoms; R.sup.3, R.sup.4 and R.sup.5 are the same or different and are alkyl of 1 to 8 carbon atoms, aryl, aralkyl of 7 to 11 carbon atoms, or when two or three of R.sup.3, R.sup.4, and R.sup.5 are taken together to form quinuclidino, piperidino, pyrrolidino, or morpholino; n is 1 to 8; and X is a pharmaceutically acceptable anion.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L3 ANSWER 25 OF 60 USPATFULL

ACCESSION NUMBER: 96:72662 USPATFULL

TITLE: N-[1, (1-1)-dialkyloxy]-and N-[1, (1-1)-dialkenyloxy]-alk-1-yl-n,n,n-tetrasubstituted ammonium lipids and uses therefor

INVENTOR(S): Eppstein, Deborah A., Menlo Park, CA, United States
Felgner, Philip L., Los Altos, CA, United States
Gadek, Thomas R., Oakland, CA, United States
Jones, Gordon H., Cupertino, CA, United States
Roman, Richard B., Fairhope, AL, United States

PATENT ASSIGNEE(S): Syntex (U.S.A.) Inc., Palo Alto, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5545412		19960813
APPLICATION INFO.:	US 1995-415962		19950403 (8)

RELATED APPLN. INFO.: Division of Ser. No. US 1994-237807, filed on 4 May 1994 which is a division of Ser. No. US 1993-15738, filed on 10 Feb 1993, now patented, Pat. No. US 5366737 which is a division of Ser. No. US 1990-614412, filed on 16 Nov 1990, now patented, Pat. No. US 5208036 which is a division of Ser. No. US 1990-524257, filed on 15 May 1990, now patented, Pat. No. US 5049386 which is a division of Ser. No. US 1989-428815, filed on 27 Oct 1989, now patented, Pat. No. US 4946787 which is a division of Ser. No. US 1987-114809, filed on 29 Oct 1987, now patented, Pat. No. US 4897355 which is a continuation-in-part of Ser. No. US 1986-877916, filed on 24 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-689407, filed on 7 Jan 1985, now abandoned

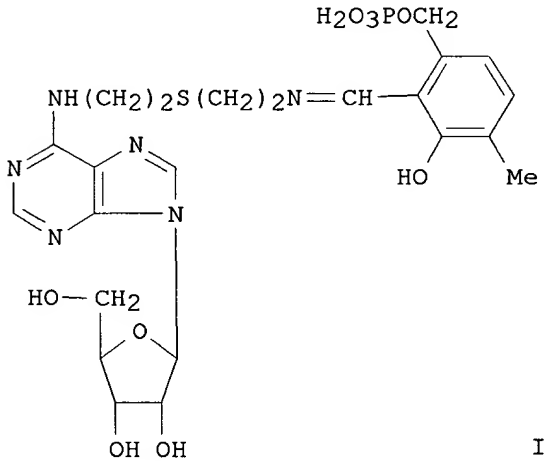
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Azpuru, Carlos
LEGAL REPRESENTATIVE: Heller Ehrman White & McAuliffe
NUMBER OF CLAIMS: 4
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Figure(s); 3 Drawing Page(s)
LINE COUNT: 3017
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to compounds of the formula ##STR1## or an optical isomer thereof wherein R.sup.1 and R.sup.2 are the same or different and are an alkyl or alkenyl group of 6 to 24 carbon atoms; R.sup.3, R.sup.4 and R.sup.5 are the same or different and are alkyl of 1 to 8 carbon atoms, aryl, aralkyl of 7 to 11 carbon atoms, or when two or three of R.sup.3, R.sup.4, and R.sup.5 are taken together to form

quinuclidino, piperidino, pyrrolidino, or morpholino, n is 1 to 8; and X is a pharmaceutically acceptable anion.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L3 ANSWER 26 OF 60 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:506706 CAPLUS
DOCUMENT NUMBER: 125:218348
TITLE: Vitamin B6 and cancer: synthesis and occurrence of adenosine-N6-diethylthioether-N-pyridoximine-5'-phosphate, a circulating human tumor marker
AUTHOR(S): Tryfiates, George P.; Gannett, Peter M.; Bishop, Ronald E.; Shastri, Prem K.; Ammons, Jason R.; Arbogast, James G.
CORPORATE SOURCE: Robert C. Byrd Health Sci. Cent., West Virginia Univ., Morgantown, WV, 26506, USA
SOURCE: Cancer Research (1996), 56(16), 3670-3677
CODEN: CNREA8; ISSN: 0008-5472
PUBLISHER: American Association for Cancer Research
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB In the course of studies aimed at deciphering the metabolic transformations of [3,4-14C] and [3H]C6-pyridoxine hydrochloride by tumor-bearing rats and tumor cells in culture, biosynthesis of a novel labeled product was observed Its production began with the onset of tumor growth and increased as cell proliferation increased. Chem., enzymic, precursor labeling, and anal. tests on the isolated product indicated this product as adenosine-N6-diethylthioether-N-pyridoximine-5'-phosphate [(compound 1); I]. In confirmation, the chem. synthesis and characterization of compound 1 are presented in this study. In addition, blood samples from 28 normal subjects, 28 cancer patients with different malignancies, and 39 patients with a variety of other-than-cancer ailments were screened for compound 1 on

a blind basis using reverse-phase ion-paired high-performance liquid chromatog. The results show that the level of the vitamin B6 conjugate in the circulation of control subjects, cancer patients in remission, and patients with other diseases was only minimal. Cancer patients with active disease had 3-4-fold higher levels. The authors' results also confirm previous findings regarding the structure of compound 1 and shows its potential value as a circulating human tumor marker that could be successfully used for cancer detection.

L3 ANSWER 27 OF 60 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:711787 CAPLUS
DOCUMENT NUMBER: 124:9269
TITLE: Simultaneous conversion of N(1)-(2-aminoethyl)adenosine to N6-(2-aminoethyl)adenosine and tricyclic 1,N6-ethanoadenosine under mild aqueous conditions
AUTHOR(S): Bueckmann, Andreas; Wray, Victor; van der Plas, Henk C.
CORPORATE SOURCE: Departments Enzymology Molecular Structure Research, GBF, Braunschweig, D-38124, Germany
SOURCE: Heterocycles (1995), 41(7), 1399-419
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:9269
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Under mild aqueous conditions (50°, pH range 6-7) N(1)-(2-aminoethyl)-adenosine I can be converted to N6-(2-aminoethyl)-adenosine II by Dimroth rearrangement at unexpectedly high rate. In a parallel reaction tricyclic 1,N6-ethanoadenosine III is formed. The latter reaction is new in heterocyclic organic chem. and is strongly catalyzed by the mono-anions of phosphoric and arsenic acid and, less strongly, by the acetate anion.

L3 ANSWER 28 OF 60 PHIN COPYRIGHT 2003 PJB

ACCESSION NUMBER: 94:4690 PHIN
DOCUMENT NUMBER: S00393130
DATA ENTRY DATE: 1 Mar 1994
TITLE: MARION MERRELL DOW COMPANY PROFILE (1994)
SOURCE: Scrip-Online-plus (1994)
DOCUMENT TYPE: Newsletter
FILE SEGMENT: FULL

L3 ANSWER 29 OF 60 USPATFULL
ACCESSION NUMBER: 94:102003 USPATFULL
TITLE: N-[ω,(ω-1)-dialkyloxy]- and N-[ω,(ω-1)-dialkenyloxy]-alk-1-yl-N,N,N,-tetrasubstituted ammonium lipids and uses therefor
INVENTOR(S): Eppstein, Deborah A., Menlo Park, CA, United States
Felgner, Philip L., Los Altos, CA, United States

	Gadek, Thomas R., Oakland, CA, United States
	Jones, Gordon H., Cupertino, CA, United States
	Roman, Richard B., Fairhope, AL, United States
PATENT ASSIGNEE(S):	Syntex (U.S.A.) Inc., Palo Alto, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5366737		19941122
APPLICATION INFO.:	US 1993-15738		19930210 (8)

RELATED APPLN. INFO.: Division of Ser. No. US 1990-614412, filed on 16 Nov 1990, now patented, Pat. No. US 5208036 which is a division of Ser. No. US 1990-524257, filed on 15 May 1990, now patented, Pat. No. US 5049386 which is a division of Ser. No. US 1989-428815, filed on 27 Oct 1989, now patented, Pat. No. US 4946787 which is a division of Ser. No. US 1987-114809, filed on 29 Oct 1987, now patented, Pat. No. US 4897355 which is a continuation-in-part of Ser. No. US 1986-877916, filed on 24 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-689407, filed on 7 Jan 1985, now abandoned

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Michl, Paul R.
ASSISTANT EXAMINER:	Azpuru, Carlos
LEGAL REPRESENTATIVE:	Schmonsees, William, Lowin, David A., Krubiner, Alan M.
NUMBER OF CLAIMS:	6
EXEMPLARY CLAIM:	1
LINE COUNT:	2915

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to compounds of the formula

or an optical isomer thereof wherein R.sup.1 and R.sup.2 are the same or different and are an alkyl or alkenyl group of 6 to 24 carbon atoms; R.sup.3, R.sup.4 and R.sup.5 are the same or different and are alkyl of 1 to 8 carbon atoms, aryl, aralkyl of 7 to 11 carbon atoms, or when two or three of R.sup.3, R.sup.4, and R.sup.5 are taken together to form quinuclidino, piperidino, pyrrolidino, or morpholino; n is 1 to 8; and X is a pharmaceutically acceptable anion.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L3 ANSWER 30 OF 60 USPATFULL

ACCESSION NUMBER:	94:18014 USPATFULL
TITLE:	Sulfonyl derivatives
INVENTOR(S):	Takayanagi, Takeo, 41 Ellsworth Ave., Yonkers, NY, United States 10705

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5290773		19940301
APPLICATION INFO.:	US 1990-497508		19900321 (7)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Killos, Paul J.
ASSISTANT EXAMINER:	Nazario-Gonzalez, Porfirio

LEGAL REPRESENTATIVE: Bierman and Muserlian
NUMBER OF CLAIMS: 12
EXEMPLARY CLAIM: 1
LINE COUNT: 369
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A sulfonyl compound of the formula ##STR1## The above illuminated formula (I) undergo bimolecular condensation by the condensing agents and easily form simple metal salts especially metal complexes which act as combatting viruses and inhibiting tissue growth.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L3 ANSWER 31 OF 60 USPATFULL
ACCESSION NUMBER: 93:35487 USPATFULL
TITLE: N-(ω, (ω-1)-dialkyloxy)- and N-(ω, (ω-1)-dialkenyloxy)-alk-1-yl-N,N,N-tetrasubstituted ammonium lipids and uses therefor
INVENTOR(S): Eppstein, Deborah A., Menlo Park, CA, United States
Felgner, Philip L., Los Altos, CA, United States
Gadek, Thomas R., Oakland, CA, United States
Jones, Gordon H., Cupertino, CA, United States
Roman, Richard B., Fairhope, AL, United States
PATENT ASSIGNEE(S): Syntex (U.S.A.) Inc., Palo Alto, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5208036		19930504
APPLICATION INFO.:	US 1990-614412		19901116 (7)

RELATED APPLN. INFO.: Division of Ser. No. US 1990-524257, filed on 15 May 1990 which is a division of Ser. No. US 1989-428815, filed on 27 Oct 1989, now patented, Pat. No. US 4946787 which is a division of Ser. No. US 1987-114809, filed on 29 Oct 1987, now patented, Pat. No. US 4897335 which is a continuation-in-part of Ser. No. US 1986-877916, filed on 24 Jun 1986 which is a continuation-in-part of Ser. No. US 1985-689407, filed on 7 Jan 1985, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Page, Thurman K.
ASSISTANT EXAMINER: Azpuru, Carlos
LEGAL REPRESENTATIVE: Lowin, David A., Moran, Tom M.
NUMBER OF CLAIMS: 30
EXEMPLARY CLAIM: 1
LINE COUNT: 3000
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention relates to compounds of the formula ##STR1## or an optical isomer thereof wherein R.sup.1 and R.sup.2 are the same or different and are an alkyl or alkenyl group of 6 to 24 carbon atoms; R.sup.3, R.sup.4 and R.sup.5 are the same or different and are alkyl of 1 to 8 carbon atoms, aryl, aralkyl of 7 to 11 carbon atoms, or when two or three of R.sup.3, R.sup.4, and R.sup.5 are taken together to form quinuclidino, piperidino, pyrrolidino, or morpholino; n is 1 to 8; and X is a pharmaceutically acceptable anion.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L3 ANSWER 32 OF 60 USPATFULL
ACCESSION NUMBER: 91:75533 USPATFULL
TITLE: N-ω,(ω-1)-dialkyloxy)- and N-(ω,(ω-1)-dialkenyloxy)Alk-1-YL-N,N,N-tetrasubstituted ammonium lipids and uses therefor
INVENTOR(S): Eppstein, Deborah A., Menlo Park, CA, United States
Felgner, Philip L., Los Altos, CA, United States
Gadek, Thomas R., Oakland, CA, United States
Jones, Gordon H., Cupertino, CA, United States
Roman, Richard B., Fairhope, AL, United States
PATENT ASSIGNEE(S): Syntex (U.S.A.) Inc., Palo Alto, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5049386		19910917
APPLICATION INFO.:	US 1990-524257		19900515 (7)

RELATED APPLN. INFO.: Division of Ser. No. US 1989-428815, filed on 27 Oct 1989, now patented, Pat. No. US 4946787 which is a division of Ser. No. US 1987-114809, filed on 29 Oct 1987, now patented, Pat. No. US 4897355 which is a continuation-in-part of Ser. No. US 1986-877916, filed on 24 Jun 1986, now abandoned which is a continuation-in-part of Ser. No. US 1985-689407, filed on 7 Jan 1985, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Cashion, Jr., Merrell C.
ASSISTANT EXAMINER: Horne, Leon R.
LEGAL REPRESENTATIVE: Lowin, David A., Moran, Tom M.
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 3 Drawing Figure(s); 3 Drawing Page(s)
LINE COUNT: 2913
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention relates to compounds of the formula ##STR1## or an optical isomer thereof wherein R.sup.1 and R.sup.2 are the same or different and are an alkyl or alkenyl group of 6 to 24 carbon atoms; R.sup.3, R.sup.4 and R.sup.5 are the same or different and are alkyl of 1 to 8 carbon atoms, aryl, aralkyl of 7 to 11 carbon atoms, or when two or three of R.sup.3, R.sup.4, and R.sup.5 are taken together to form quinuclidino, piperidino, pyrrolidino, or morpholino; n is 1 to 8; and X is a pharmaceutically acceptable anion.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L3 ANSWER 33 OF 60 AGRICOLA DUPLICATE 7
ACCESSION NUMBER: 91:64060 AGRICOLA
DOCUMENT NUMBER: IND91033603
TITLE: Regulation of sterol biosynthesis in sunflower by 24(R,S),25-epiminolanosterol, a novel C-24 methyl transferase inhibitor.
AUTHOR(S): Nes, W.D.; Janssen, G.G.; Norton, R.A.; Kalinowska, M.; Crumley, F.G.; Tal, B.; Bergenstrahle, A.; Jonsson, L.
CORPORATE SOURCE: Richard B. Russell Research Center, Athens, GA

AVAILABILITY: DNAL (442.8 B5236)
SOURCE: Biochemical and biophysical research communications, May 31, 1991. Vol. 177, No. 1. p. 566-574
Publisher: Duluth, Minn. : Academic Press.
ISSN: 0006-291X
NOTE: Includes references.
DOCUMENT TYPE: Article
FILE SEGMENT: U.S. Imprints not USDA, Experiment or Extension
LANGUAGE: English

AB Whereas sitosterol and 24(28)-methylene cycloartanol were competitive inhibitors (with Ki=26 micromole and 14 micromole, respectively), 24(R,S)-25-epiminolanosterol was found to be a potent non-competitive inhibitor (Ki=3.0 nM) of the S-**adenosyl**-L-methionine-C-24 methyl transferase from sunflower embryos. Because the ground state analog, 24(R,S)-oxidolanosterol, failed to inhibit the catalysis and 25-azalanosterol inhibited the catalysis with a Ki of 30 nM we conclude that the **aziridine** functions in a manner similar to the azasteriod (Rahier, A., et al., J. Biol. Chem. (1984) 259, 15215) as a transition state analog mimicking the carbonium intermediate found in the normal transmethylation reaction. Additionally, we observed that the **aziridine** inhibited cycloartenol metabolism (the preferred substrate for transmethylation) in cultured sunflower cells and cell growth.

L3 ANSWER 34 OF 60 USPATFULL

ACCESSION NUMBER: 90:7633 USPATFULL
TITLE: N[ω,(ω-1)-dialkyloxy]- and N-[ω,(ω-1)-dialkenyloxy]-alk-1-yl-N,N,N-tetrasubstituted ammonium lipids and uses therefor
INVENTOR(S): Eppstein, Deborah A., Menlo Park, CA, United States
Felgner, Philip L., Los Altos, CA, United States
Gadek, Thomas R., Oakland, CA, United States
Jones, Gordon H., Cupertino, CA, United States
Roman, Richard B., Fairhope, AL, United States
PATENT ASSIGNEE(S): Syntex (U.S.A.) Inc., Palo Alto, CA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4897355		19900130
APPLICATION INFO.:	US 1987-114809		19871029 (7)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1986-877916, filed on 24 Jun 1986 which is a continuation-in-part of Ser. No. US 1985-689407, filed on 7 Jan 1985, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Killos, Paul J.
LEGAL REPRESENTATIVE: Lowin, David A., Moran, Tom M.
NUMBER OF CLAIMS: 13
EXEMPLARY CLAIM: 1
LINE COUNT: 2927
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to compounds of the formula ##STR1## or an optical isomer thereof wherein R.sup.1 and R.sup.2 are the same or different and are an alkyl or alkenyl group of 6 to 24 carbon atoms; R.sup.3, R.sup.4 and R.sup.5 are the same or different and are alkyl of 1 to 8 carbon atoms, aryl, aralkyl of 7 to 11 carbon atoms, or when two

or three of R.sup.3, R.sup.4, and R.sup.5 are taken together to form quinuclidino, piperidino, pyrrolidino, or morpholino; n is 1 to 8; and X is a pharmaceutically acceptable anion.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L3 ANSWER 35 OF 60 DRUGU COPYRIGHT 2003 THOMSON DERWENT
ACCESSION NUMBER: 1989-40814 DRUGU P T E S
TITLE: Review: Pharmacologic Control of Wound Healing in Glaucoma Filtration Surgery.
AUTHOR: Tahery M M; Lee D A
LOCATION: Los Angeles, California, United States
SOURCE: J.Ocul.Pharmacol. (5, No. 2, 155-79, 1989) 6 Fig. 233 Ref.
CODEN: JOPHER ISSN: 8756-3320
AVAIL. OF DOC.: Jules Stein Eye Institute, UCLA Medical Center, 800 Westwood Plaza, Room 2-118, Los Angeles, California 90024-1771, U.S.A.
LANGUAGE: English
DOCUMENT TYPE: Journal
FIELD AVAIL.: AB; LA; CT
FILE SEGMENT: Literature
AN 1989-40814 DRUGU P T E S
AB The control of wound healing in glaucoma filtration surgery (GFS) is reviewed. The mechanisms of wound healing (vascular permeability, clotting, cellular involvement, granulation tissue, scar formation and wound closure) are detailed. The inhibition of wound healing by steroids, NSAID, thrombolytic drugs, antineoplastic drugs, colchicine, taxol, agents inhibiting collagen cross-linking and endogenous inhibitors is described. The requirement for GFS in glaucoma uncontrolled by beta-blockers, miotics, epinephrine-related drugs, carbonic anhydrase inhibitors and laser therapy is discussed. Delivery of drugs used in association with GFS is considered.
ABEX Prednisolone acetate, triamcinolone, fluorometholone, dexamethasone and betamethasone reduce intraoculoar inflammation. The mechanisms of BW-755, benoxprofen, nordihydroguaiaretic acid, mepacrine, tranylcypromine, 15-hydroperoxyarachidonic acid, N-0164, imidazole, burimamide and benzydamine are described. Urokinase and streptokinase are used in vitreous hemorrhage and hyphema; the former causes local inflammation and corneal toxicity. Tissue-type plasminogen activator appears promising. Subconjunctival 5-fluorouracil (FL) and instilled cytarabine are effective. Systemic FL causes lacrimal obstruction and cicatricial ectropion; local FL causes corneal epithelial defects, pain, redness and chemosis. Other antineoplastic drugs include mechlorethamine, chlorambucil, cyclosphophamide, melphalan, **aziridine**, alkyl sulfonate, carmustine, lomustine, semustine, triazene, streptozocin, methotrexate, mercaptopurine and thioguanine. Dactinomycin, daunorubicin, doxorubicin HCl, vinblastine, vincristine, bleomycin, mitomycin and plicamycin are not used ocularly because of neurotoxicity. Wound healing is inhibited by colchicine, taxol, aqueous humor, monocytes, sera, **adenosine**, guanosine and their deoxy analogs, human leukemic cells and fibroblasts. GFS is required for uncontrolled rises in intraocular pressure or progressive visual loss despite maximally-tolerated drug and laser therapy. FL, bleomycin, dexamethasone, D-penicillamine and beta-aminoprioionitrile have been used after GFS to retard wound healing and reduce failure of the filtering bleb; dissolvable setons of bioerodable materials are the current best delivery systems. (W19/LF) (D.A.L.).

L3 ANSWER 36 OF 60 PASCAL COPYRIGHT 2003 INIST-CNRS. ALL RIGHTS RESERVED.
ACCESSION NUMBER: 1989-0282666 PASCAL
TITLE (IN ENGLISH): Optimization of the synthesis of N(1)-(2-aminoethyl)-NAD(P)
AUTHOR: BUECKMANN A. F.
CORPORATE SOURCE: Gesellschaft biotechnologische Forschung mbH, Braunschweig 3300, Germany, Federal Republic of
SOURCE: Heterocycles, (1988), 27(7), 1623-1628, 4 refs. ISSN: 0385-5414
DOCUMENT TYPE: Journal
BIBLIOGRAPHIC LEVEL: Analytic
COUNTRY: Japan
LANGUAGE: English
AVAILABILITY: CNRS-15740
AN 1989-0282666 PASCAL
ABFR L'alkylation du NADP par l'**aziridine** consiste en une substitution en N1 de l'**adenosine** par un groupement amino-2 ethyl. La reaction est etudiee en faisant varier la temperature, le pH et la concentration en **aziridine**

L3 ANSWER 37 OF 60 SCISEARCH COPYRIGHT 2003 ISI (R) DUPLICATE 8
ACCESSION NUMBER: 87:244312 SCISEARCH
THE GENUINE ARTICLE: G9799
TITLE: **AZIRIDINYL** PUTRESCINE (AZP) DECREASES PROSTATIC WEIGHT AND SUPPRESSES THE ALPHA-DIFLUOROMETHYLORNITHINE (DFMO) INDUCED INCREASE IN DECARBOXYLATED S-**ADENOSYL** METHIONINE (DC-SAM)
AUTHOR: HESTON W D W (Reprint); LAUDONE V P; HURYK R; COVEY D F
CORPORATE SOURCE: MEM SLOAN KETTERING CANC CTR, NEW YORK, NY, 10021
COUNTRY OF AUTHOR: USA
SOURCE: PROCEEDINGS OF THE AMERICAN ASSOCIATION FOR CANCER RESEARCH, (1987) Vol. 28, No. MAR, pp. 258.
DOCUMENT TYPE: Conference; Journal
LANGUAGE: ENGLISH
REFERENCE COUNT: No References

L3 ANSWER 38 OF 60 BIOTECHNO COPYRIGHT 2003 Elsevier Science B.V.DUPLICATE
ACCESSION NUMBER: 1986:17210352 BIOTECHNO
TITLE: Receptor interconversion model of hormone action. II. Nucleotide-mediated conversion of estrogen receptors from nonsteroid binding to the lower affinity binding state
AUTHOR: Raymoure W.J.; McNaught R.W.; Greene G.L.; Smith R.G.
CORPORATE SOURCE: Scott Department of Urology, Baylor College of Medicine, Houston, TX 77030, United States.
SOURCE: Journal of Biological Chemistry, (1986), 261/36 (17018-17025)
CODEN: JBCHA3
DOCUMENT TYPE: Journal; Article
COUNTRY: United States
LANGUAGE: English
AN 1986:17210352 BIOTECHNO
AB Two steroid binding states of an estrogen receptor each with different equilibrium constants (K(d) values) R(x) (K(d) = 0.06 nM) and R(y) (K(d) = 0.8 nM) have been identified and characterized in the hen and estrogen-stimulated chick oviduct. A third nonestrogen binding form of the receptor, designated R(nb), is now described which exists in

short-term estrogen withdrawn chick oviduct cytosol. A model is presented in which the receptor can be interconverted between the three states. The interconversion is monitored by Scatchard analysis, sucrose density gradient analysis, and affinity labeling using ¢.sup.3H!tamoxifen **aziridine** followed by receptor purification with estrogen receptor monoclonal antibody affinity chromatography and sodium dodecyl sulfate-gel electrophoresis. The results are consistent with each state existing in different conformations having a common molecular weight of approximately 66,000. This paper defines the conditions and nucleotide requirements for the R(nb) to R(y) conversion. The conversion to the steroid binding form is induced by ATP, ADP, and GTP. Cyclic nucleotides are ineffective. There is a specific requirement for Mg.sup.2.sup.+; neither Ca.sup.2.sup.+ nor Mn.sup.2.sup.+ will substitute. Nonhydrolyzable nucleotide analogues were tested for their relative efficiency to convert R(nb) to R(y). Conversion occurred with
α,β-methylene **adenosine** triphosphate, but
β,γ-methylene **adenosine** triphosphate and
α,β-methylene **adenosine** diphosphate were inert.
Thus, activation of R(nb) to form R(y) appears to be catalyzed by an event requiring the loss of the terminal phosphoryl moiety from either ATP or ADP. Receptor derived from conversion of R(nb) to R(y) has the same physical properties as native R(y). Activation of R(nb) is to R(y) specifically; no increase in the R(x) form of estrogen receptor was ever observed. The accompanying paper similarly describes the R(x) to R(y) conversion. Since these data also explain observations made with glucocorticoid and with epidermal growth factor receptors, it is speculated that the receptor interconversion model may have general application to hormone action.

L3 ANSWER 39 OF 60 CANCERLIT
ACCESSION NUMBER: 82624787 CANCERLIT
DOCUMENT NUMBER: 82624787
TITLE: STUDIES ON THE BIOLOGIC ACTIVITY OF PURINE AND PYRIMIDINE ANALOGS.
AUTHOR: Montgomery J A
CORPORATE SOURCE: Southern Res. Inst., Birmingham, AL, 35255.
SOURCE: Med Res Rev, (1982) 2 (3) 271-308.
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
General Review; (REVIEW)
LANGUAGE: English
FILE SEGMENT: Institute for Cell and Developmental Biology
ENTRY MONTH: 198209
ENTRY DATE: Entered STN: 19941107
Last Updated on STN: 19941107

AB Biologic activity of purine-pyrimidine antimetabolites including 6-thiopurines, azapurine, alpha-arabinonucleotides, carbolic analogs of nucleosides, purines and pyrimidines containing chemically reactive functions, deazapurines, and halopurines is reviewed. Among 9-substituted purines, 9-amino-6-mercaptopurine and some of its derivatives showed activity in the L1210 system comparable to 6-mercaptopurine (MP) and may owe their activity to in vivo conversion to MP. The responses of resistant cell lines indicated that the cytotoxicity of 2-azaadenosine is due both to its direct phosphorylation and to its conversion to 2-azahypoxanthine which is known to inhibit the growth of both microbial and mammalian cells. Carbocyclic analogs of nucleosides have a carbon-nitrogen bond joining the heterocyclic base to the cyclopentane ring comparable to that of simple alkyl derivative and, therefore, not susceptible to enzymatic

fission as is the glycosyl bond of true nucleosides. The activity of the monofunctional alkylating agent N-(2,4-dinitrophenyl)**aziridine** provided the basis for the synthesis of some 6-(1-**aziridinyl**)purines, which showed activity against adenocarcinoma 755, a tumor not normally sensitive to alkylating agents. The activity of N-methyl-N-nitrosourea suggested the incorporation of this moiety into a purine structure. The cytotoxicity of 3-deoxyadenosine is enhanced by 2'-deoxycoformycin, which is less effective with 9-beta-D-xylofuranosyladenine, even though both compounds are deaminated by **adenosine** deaminase at a significant rate. (175 Refs)

L3 ANSWER 40 OF 60 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 10
ACCESSION NUMBER: 1979:168884 CAPLUS
DOCUMENT NUMBER: 90:168884
TITLE: Nucleic acid related compounds. 30. Transformations of **adenosine** to the first 2',3'-**aziridine**-fused nucleosides, 9-(2,3-epimino-2,3-dideoxy-β-D-ribofuranosyl)adenine and 9-(2,3-epimino-2,3-dideoxy-β-D-lyxofuranosyl)adenine
AUTHOR(S): Robins, Morris J.; Hawrelak, S. D.; Kanai, Tadashi; Siefert, Jan Marcus; Mengel, Rudolf
CORPORATE SOURCE: Dep. Chem., Univ. Alberta, Edmonton, AB, Can.
SOURCE: Journal of Organic Chemistry (1979), 44(8), 1317-22
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Treatment of 9-(2,3-anhydro-β-D-lyxofuranosyl)- and 9-(2,3-anhydro-β-D-ribofuranosyl)adenine with azide gave 9-(3-azido-3-deoxy-β-D-arabinofuranosyl)- and 9-(3-azido-3-deoxy-β-D-xylofuranosyl)adenine in good yields plus minor quantities of the 2'-azido substitution products. Selective protection of the 5'-OH function, mesylation or tosylation of the 2'-OH group, and reduction of the resulting trans-3'-azido-2'-sulfonate ester with intramol. displacement-cyclization provided the resp. fused-ring aziridine products, 9-(2,3-epimino-2,3-dideoxy-β-D-ribofuranosyl)- and 9-(2,3-epimino-2,3-dideoxy-β-D-lyxofuranosyl)adenine. Unusual UV, CD, and 1H NMR spectral properties of these bicyclo[3.1.0] sugar-nucleoside systems are discussed.

L3 ANSWER 41 OF 60 DRUGB COPYRIGHT 2003 THOMSON DERWENT
ACCESSION NUMBER: 1979-36463 C
TITLE: NUCLEIC ACID RELATED COMPOUNDS. 30. TRANSFORMATIONS OF **ADENOSINE** TO THE FIRST 2&,3&-**AZIRIDINE** -FUSED NUCLEOSIDES, 9-/2,3-EPIMINO-2,3-DIDEOXY-BETA-D-RIBOFURANOSYL/ADENINE AND 9-/2,3-EPIMINO-2,3-DIDEOXY-BETA-D-LYXOFURANOSYL/ADENINE.
AUTHOR: ROBINS M J; HAWRELAK S D; KANAI T; SIEFERT J M; MENGEL R
LOCATION: EDMONTON,ALB.,CAN.AND CONSTANCE,GER.
SOURCE: J.ORG.CHEM. (44, NO.8, 1317-22, 1979)
LANGUAGE: English

L3 ANSWER 42 OF 60 EMBASE COPYRIGHT 2003 ELSEVIER SCI. B.V.
ACCESSION NUMBER: 78340945 EMBASE
DOCUMENT NUMBER: 1978340945
TITLE: Cyclic nucleotide metabolism in Walker carcinoma cells resistant to alkylating agents.

AUTHOR: Tisdale M.J.; Phillips B.J.
CORPORATE SOURCE: Dept. Biochem., St. Thomas's Hosp. Med. Sch., London SE1 7EH, United Kingdom
SOURCE: Biochemical Pharmacology, (1978) 27/6 (947-952).
CODEN: BCPCA6
COUNTRY: United Kingdom
DOCUMENT TYPE: Journal
FILE SEGMENT: 037 Drug Literature Index
029 Clinical Biochemistry
030 Pharmacology
016 Cancer
LANGUAGE: English

AB Walker carcinoma cells resistant to growth inhibition by 5-**aziridinyl**-2,4-dinitrobenzamide (CB 1954) also show some degree of cross-resistance to the cytotoxic effect of N6, O2'-dibutyryl **adenosine** 3', 5'-monophosphate (dbcAMP). Using DEAE-cellulose chromatography and a linear salt gradient the cAMP-dependent protein kinase, binding protein and phosphodiesterase from sensitive and resistant cells has been resolved into multiple forms. A type 1 enzyme which elutes at 0.03 M KCl is present only in sensitive cells and those with a low resistance to CB 1954. A type 2 enzyme which elutes between 0.15 and 0.28 M KCl is present in all cell lines. There is a decrease in specific activity of the cAMP-dependent protein kinase, binding protein and phosphodiesterase with increasing resistance to CB 1954. The binding proteins from resistant cells were more sensitive to temperature than those from sensitive cells, suggesting a difference in conformation of the receptor. Both 2-mercaptoethanol and 5,5'-dithiobis(2-nitrobenzoic acid) increase the temperature sensitivity of the proteins with 2-mercaptoethanol producing a greater effect on the proteins from the resistant lines. The cAMP-dependent protein kinase of resistant Walker cells exhibits an apparent K(a) for activation by cAMP 2.5-fold greater than that of sensitive cells. Heterologous reconstituted enzymes using separated subunits from sensitive and resistant cells show defects in both R and C subunits in resistant cells.

L3 ANSWER 43 OF 60 CANCERLIT DUPLICATE 11
ACCESSION NUMBER: 78802720 CANCERLIT
DOCUMENT NUMBER: 78802720
TITLE: GUANOSINE 3',5'-MONOPHOSPHATE AND THE ACTION OF ALKYLATING AGENTS.
AUTHOR: Tisdale M J; Phillips B J
CORPORATE SOURCE: Dept. Biochemistry, St. Thomas's Hosp. Medical Sch., London SE1 7EH, England.
SOURCE: Chem Biol Interact, (1977) 19 (3) 375-381.
ISSN: 0009-2797.
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Hierarchical Classification of Proteins
ENTRY MONTH: 197806
ENTRY DATE: Entered STN: 19941107
Last Updated on STN: 19941107

AB The intracellular level of guanosine 3',5'-monophosphate (cGMP) was measured in Walker carcinoma cells in tissue culture after treatment with various alkylating agents. At concentrations that caused a rise in the level of **adenosine** 3',5'-monophosphate (cAMP), chlorambucil (5 ug/ml) and 5-(1-**aziridinyl**)-2,4-dinitrobenzamide (equitoxic concentration) both caused only a small (35%) elevation of cGMP, while

merophan (0.5 ug/ml) had no such effect. This suggests that any effect of cAMP is not outweighed by an equivalent rise in cGMP. Specific cytosolic binding of cGMP decreased with increasing resistance of Walker cells to alkylating agents, while the dissociation constant for binding increased. This was also observed with cAMP binding, which suggests that the same protein is responsible for binding both nucleotides. (17 Refs)

L3 ANSWER 44 OF 60 EMBASE COPYRIGHT 2003 ELSEVIER SCI. B.V.
ACCESSION NUMBER: 77161143 EMBASE
DOCUMENT NUMBER: 1977161143
TITLE: The effect of alkylating agents on the activity of adenosine 3',5' monophosphate dependent protein kinase in Walker carcinoma cells.
AUTHOR: Tisdale M.J.; Phillips B.J.
CORPORATE SOURCE: Dept. Biochem., St. Thomas's Hosp. Med. Sch., London, United Kingdom
SOURCE: Biochemical Pharmacology, (1976) 25/21 (2365-2370). CODEN: BCPCA6
DOCUMENT TYPE: Journal
FILE SEGMENT: 037 Drug Literature Index
030 Pharmacology
029 Clinical Biochemistry
LANGUAGE: English
AB The effect of some alkylating agents on the activity of the **adenosine** 3,5 monophosphate (cyclic AMP) dependent protein kinase hase been studied in Walker cells sensitive and resistant to the cytotoxic action of such agents. Chlorambucil (5 μg/ml) caused an activation of the cAMP dependent protein kinase in sensitive Walker carcinoma cells which reached a maximum 1.5 hr after drug addition. Sephadex gel chromatography indicated that during this activation, the catalytic subunit of the protein kinase was released from the holoenzyme to the same extent as that measured in the crude supernatant of the tumor cells. The degree of activation was equivalent to that produced by 100 μg/ml of N6O2' dibutyryl cAMP. In contrast, the monofunctional N ethyl analogue of chlorambucil had no effect on the cAMP dependent protein kinase at a dose of 250 μg/ml. The protein kinase activity ratio in sensitive cells increased with increasing doses of chlorambucil and reached a maximal activation at a concentration of 5 μg/ml, which was sufficient to cause complete inhibition of tumour cell growth. A much larger dose of chlorambucil (100 μg/ml) was required to cause activation of the kinase in Walker cells resistant to this agent. Chlorambucil (25 μg/ml) also caused an activation of the cAMP dependent protein kinase in TLX5 cells, though the time scale of the activation differed for that found in Walker cells. Both merophan and 5 **aziridinyl** 2,4 dinitrobenzamide (CB 1954) caused an increase in the protein kinase activity ratio of sensitive Walker cells. The increase caused by CB 1954 could be abolished by 4 amino 2 phenylimidazole 5 carboxamide (2 phenyl ALC), which reverses the tumour growth inhibitory action of CB 1954. The degree of stimulation of the cytosolic protein kinase by saturating concentrations of cAMP, and the apparent dissociation constant for cAMP bound to protein kinase decreased with increasing resistance of the cell lines to alkylating agents. These results suggest that the biological effect of the increase in cAMP in sensitive Walker cells induced by the alkylating agents is mediated through a protein kinase.

L3 ANSWER 45 OF 60 CANCERLIT DUPLICATE 12
ACCESSION NUMBER: 77804046 CANCERLIT

DOCUMENT NUMBER: 77804046
TITLE: ALTERATIONS IN ADENOSINE 3',5'-MONOPHOSPHATE-BINDING PROTEIN IN WALKER CARCINOMA CELLS SENSITIVE OR RESISTANT TO ALKYLATING AGENTS.
AUTHOR: Tisdale M J; Phillips B J
CORPORATE SOURCE: Dept. Biochemistry, St. Thomas's Hosp. Medical Sch., London SE1 7EH, England.
SOURCE: Biochem Pharmacol, (1976) 25 (16) 1831-1836.
ISSN: 0006-2952.
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Hierarchical Classification of Proteins
ENTRY MONTH: 197705
ENTRY DATE: Entered STN: 19941107
Last Updated on STN: 19941107

AB The binding of **3H-**adenosine** 39,59-monophosphate (cAMP) was measured in the 100,000 x g supernatants of Walker carcinoma cells of tissue culture lines having different degrees of resistance to alkylating agents. Compared to sensitive Walker carcinoma cells, the resistant cells demonstrated reduced binding of cAMP at pH 6.5 and pH 4.0. Reduced binding was observed in cells made resistant to either chlorambucil or 5-**aziridinyl**-2,3-dinitro- benzamide (CB 1954), although the CB 1954-resistant lines showed a greater loss of binding activity. There was some lowering of binding when equal amounts of cytosols from sensitive and CB 1954-resistant cells were mixed, but little difference in binding when sensitive and chlorambucil-resistant cytosols were mixed, suggesting the possibility of an inhibitor to cAMP binding in the CB 1954-resistant cytosols. Dialysis of the cytosols made little difference in cAMP binding, suggesting that endogenous levels of cAMP were not involved. The binding by both sensitive and resistant cytosols had the same pH optimum (approx 4.0). An increased cAMP phosphodiesterase activity in sensitive and resistant lines did not account for the decreased cAMP binding in the resistant cytosols, since the activity of the high affinity form of this enzyme was the same in CB 1954-sensitive and resistant lines and less in the chlorambucil-resistant line than in the sensitive line. Scatchard analysis suggested the presence of two sites in all cell lines with dissociation constants (Kd) of approx 1-5 x 10**-9M and Kd of approx 3 x 10**-8M. Walker carcinoma cells with acquired resistance to either CB 1954 or chlorambucil showed some cross resistance to the cytostatic effect of cAMP analogs and of other alkylating agents. (25 refs)

L3 ANSWER 46 OF 60 EMBASE COPYRIGHT 2003 ELSEVIER SCI. B.V.
ACCESSION NUMBER: 77112499 EMBASE
DOCUMENT NUMBER: 1977112499
TITLE: The effect of alkylating agents on adenosine 3',5' monophosphate metabolism in Walker carcinoma.
AUTHOR: Tisdale M.J.; Phillips B.J.
CORPORATE SOURCE: Dept. Biochem., St Thomas's Hosp. Med. Sch., London, United Kingdom
SOURCE: Biochemical Pharmacology, (1976) 25/15 (1793-1797).
CODEN: BCPCA6
DOCUMENT TYPE: Journal
FILE SEGMENT: 037 Drug Literature Index
030 Pharmacology
016 Cancer
029 Clinical Biochemistry
LANGUAGE: English

AB The effect of some alkylating agents on the activity of the enzymes adenylate cyclase and cyclic 3,5 nucleotide phosphodiesterase has been studied using Walker carcinoma cells in tissue culture. The monofunctional agent 5 **aziridinyl** 2,4 dinitrobenzamide (CB 1954), which has previously been shown to elevate the level of **adenosine** 3,5 monophosphate (cyclic AMP) in sensitive Walker cells, has been shown to have no effect on the activity of adenylate cyclase either in the presence or absence of the protecting agent 4 amino 2 phenylimidazole 5 carboxamide (2 phenyl AlC). Chlorambucil (p N,N(di 2 chloroethylamino) phenylbutyric acid) (5 μg/ml) while having no effect on either the basal or fluoride stimulated adenylate cyclase activity caused an inhibition of the high affinity form of the cyclic AMP phosphodiesterase which reached a maximum after 1 hr. This was accompanied by an increase in the intracellular level of cAMP which was proportional to the dose of chlorambucil up to a maximal 2 fold increase at 6.4 μg/ml, a dose which caused complete inhibition of cell growth. Further increases in the concentration of chlorambucil up to 100 μg/ml caused no further increase in cAMP level. Merophan (DL o N,N(di 2 chloroethylamino)phenylalanine) (0.5 μg/ml) similarly caused an inhibition of the low K(m) form of the phosphodiesterase, but the rate of inhibition was slower than that observed with chlorambucil. The molecular forms of the cAMP phosphodiesterase in Walker cells sensitive or resistant to chlorambucil have been resolved using Sepharose 6B gel chromatography. The resistant lines displayed a reduction in the specific activity of the high affinity form of the enzyme which was accompanied by a shift to lower molecular weight forms. This could explain the lack of effect of chlorambucil on cAMP levels in Walker cells with acquired resistance to this agent.

L3 ANSWER 47 OF 60 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1974:491872 CAPLUS
DOCUMENT NUMBER: 81:91872
TITLE: Synthesis of purine nucleoside 6-sulfonates
AUTHOR(S): Rackwitz, Hans R.; Scheit, Karl H.
CORPORATE SOURCE: Abt. Mol. Biol., Max-Planck-Inst. Biophys. Chem., Goettingen, Fed. Rep. Ger.
SOURCE: Chemische Berichte (1974), 107(7), 2284-94
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB The purinethiones I (R = H, PO3H2, or triphosphate; R1 = H or NH2; R2 = H or OH) reacted with SO32- in the presence of O to give 100% II (R3 = SO3-) (III). III (R = H, R1 = H or NH2, R2 = OH) reacted with NH4OH to give **adenosine** and the corresponding diamino derivative, resp. III (R = H or PO3H2, R1 = H, R2 = OH) reacted with **aziridine** to give II (R3 = 1-**aziridinyl**). III (R1 = NH2) fluoresced with high quantum yields on excitation in the near uv. The formation of III (R = H) on irradiation of I at 235 nm in the presence of O was proved by fluorescence and absorption spectroscopy and by comparison with authentic material.

L3 ANSWER 48 OF 60 WPIDS (C) 2003 THOMSON DERWENT
ACCESSION NUMBER: 1984-313106 [51] WPIDS
DOC. NO. CPI: C1984-133484
TITLE: New 1,3,5-triazine derivs. with anticancer and antiviral activity - prepared by reaction of cyanuric chloride or bromide with e.g. adenine, glucosamine, a protein constituent or an antibody fraction.

DERWENT CLASS: B02 B03
PATENT ASSIGNEE(S): (RIES-I) RIESZ E
COUNTRY COUNT: 1
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
DE 1695661	A	19710429	(198451)*		12

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
DE 1695661	A	DE 1967-R407674	19671222

PRIORITY APPLN. INFO: DE 1967-R407674 19671222
AN 1984-313106 [51] WPIDS
AB DE 1695661 A UPAB: 19930925
1,3,5-Triazine derivs. of formula (I) are new. n=1 or 2; x,y,z=residue of adenine, guanine, cytosine, **adenosine**, guanosine, cytidino, ribosamine or deoxyribosamine or a mono- or polyphosphate of one of these cpds., glucosamine, a protein constituent, or a protein fraction with antibody activity, the residue being linked via an N-atom; Y and Z can also be Cl, Br, OH or the residue of beta-haloethylamine, beta, beta-dihalo-diethylamine, **aziridine**, ethanolamine or diethanola-mine.
USE - Chemotherapy cancer and virus diseases.
0/0

L3 ANSWER 49 OF 60 CANCERLIT
ACCESSION NUMBER: 70801574 CANCERLIT
DOCUMENT NUMBER: 70801574
TITLE: QUANTITATIVE CHANGES IN COENZYMES AND SUBSTRATES INVOLVED IN GLYCOLYSIS IN RAT MYELOMA AFTER CYTOSTATIC THERAPY WITH DIFFERENT DOSES OF C 73.
AUTHOR: Ehrhart H; Kienle H; Hormann W
CORPORATE SOURCE: Univ. 1st Med. Clin., Munich, Germany.
SOURCE: Klin Wochenschr, (1970) 48 (10) 637-639.
ISSN: 0023-2173.
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: German
FILE SEGMENT: Hierarchical Classification of Proteins
ENTRY MONTH: 197512
ENTRY DATE: Entered STN: 19941107
Last Updated on STN: 19941107
AB In rats with 11-23-d-old (average 15-d-old) Shay myelomas, P,P-+bis(1-**aziridinyl**)phosphinyllphenylurea (C-73; 6-20 mg/kg sc x 1) caused no tumor regression and no significant changes in the contents of nicotinamide adenine dinucleotide (NAD), **adenosine** monophosphate (AMP), **adenosine** diphosphate (ADP) or **adenosine** triphosphate (ATP) after 2-4 d. At 15 mg/kg, C-73 caused almost complete tumor regression in 8 d, with significant decreases in NAD, ADP and AMP levels. Complete tumor regression, which was noted with 20 mg/kg of C-73, was accompanied by decreases in the NAD, ADP, AMP and ATP contents. In all groups, the contents of dihydroxyacetone phosphate and fructose diphosphate remained constant. It is suggested that C-73 may inhibit tumor

growth by interfering with enzymes involved in the synthesis of NAD and the **adenosine** phosphates, not by its effect on glycolysis.

L3 ANSWER 50 OF 60 CANCERLIT
ACCESSION NUMBER: 70802312 CANCERLIT
DOCUMENT NUMBER: 70802312
TITLE: STUDIES ON LEUKOCYTE METABOLISM V.
AUTHOR: Ehrhart H; Hormann W; Scheffel G; Armbroster E
CORPORATE SOURCE: U. Munich 1st Med. Clin., Germany.
SOURCE: Klin Wochenschr, (1970) 48 (4) 204-209.
ISSN: 0023-2173.
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: German
FILE SEGMENT: Hierarchical Classification of Proteins
ENTRY MONTH: 197512
ENTRY DATE: Entered STN: 19941107
Last Updated on STN: 19941107

AB In patients with chronic granulocytic (CGL) or lymphocytic leukemia (CLL), the nicotinamide adenine dinucleotide (NAD) and **adenosine** triphosphate (ATP) contents of isolated WBC were abnormally high before treatment. The NAD contents of the WBC decreased significantly within 2-5 d after admin of P,P-+bis(1-**aziridinyl**)phosphinyllphenylurea (C-73; 0.7 mg/kg x 1 po) in 10/11 patients with CGL; in 8/10, this decrease of NAD was associated with a significant decrease of the elevated ATP content of the WBC. Continued admin of C-73 induced complete remissions (CR) in 5/11 and partial remissions (PR) in 6/11 with CGL. Busulfan (B; 0.6 mg/kg x 1 po) caused a significant decrease in the NAD and ATP contents of the WBC in 10/14 and 5/14 patients, resp, with CGL, but this decrease was neither as large nor as rapid as in patients treated with C-73. Continued admin of conventional doses of B induced CR in 5/14 and PR in 8/14 with CGL. The lymphocyte NAD content decreased significantly in 16/18 patients with CLL after C-73 admin. (0.7 mg/kg x 1 po), but lymphocyte ATP values remained unchanged in 7/7 patients investigated. C-73 induced CR in 11/18 and PR in 5/18. Cyclophosphamide (C; 20 mg/kg x 1 iv) had no effect on the NAD or ATP contents of WBC from 6/6 patients with CLL, but continued admin of C (200 mg/d iv x 6 wk) induced CR in 4/6 and PR in 2/6.

L3 ANSWER 51 OF 60 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1964:85705 CAPLUS
DOCUMENT NUMBER: 60:85705
ORIGINAL REFERENCE NO.: 60:15031a-b
TITLE: Histochemical modifications of nucleic acids, 5'-nucleotidase, alkaline phosphatase, and ATP (adenosine triphosphate) in cervical carcinoma after treatment with tris(ethylenimino)benzoquinone
AUTHOR(S): Gasparri, F.; Battaglia, G. B.; Periti, P.
CORPORATE SOURCE: Univ. Florence
SOURCE: Boll. Soc. Ital. Biol. Sper. (1963), 39(24), 1644-6
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB In patients with cervical carcinoma in various surgical stages ribonucleic acid (RNA), deoxyribonucleic acid (DNA), 5-nucleotidase (I), alkaline phosphatase (II), and ATP were evaporating histochem. in biopsies before and after treatment with tris(ethylenimino)benzoquinone. The compound was administered intravaginally (0.1 mg. daily, twice). In most cases RNA, DNA, I, and II were reduced. No clear alteration in the ATP level could

be observed., which may be caused by the unreliable histochem. determination of ATP.

L3 ANSWER 52 OF 60 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1962:24982 CAPLUS
DOCUMENT NUMBER: 56:24982
ORIGINAL REFERENCE NO.: 56:4707a-e
TITLE: Reaction of ethyleniminochloro-1,4-benzoquinones with esters of α-alanine
AUTHOR(S): Makarova, A. N.; Berlin, A. Ya.
CORPORATE SOURCE: Inst. Exptl. and Clin. Oncol., Acad. Med. Sci., Moscow
SOURCE: Zhur. Obshchei Khim. (1961), 31, 2353-8
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. CA 55, 1500b. Aziridino-3,5,6-trichloro-1,4-benzoquinone and MeCH(NH2)CO2Et in 5 hrs. at room temperature in MeOH gave nearly 100% 2,5-di[N-(α-alanyl)]-3,6-dichloro-1,4-benzoquinone di-Et ester (I), m. 167-8°. Similar reaction in the presence of Et3N gave in 2 hrs. 13% above product and 40% brown 2-(N-alanyl)-5-aziridino-3,6-dichloro-1,4-benzoquinone Et ester, m. 115-16°, which treated with alanine Et ester in MeOH changed rapidly to the former product. Similarly, alanine Me ester gave 20% 2,5-di-N-alanyl-3,6-dichloro-1,4-benzoquinone di-Me ester (Ia), which had 3 crystalline forms: green-yellow, turning pink at 130°, m. 178-9°; less soluble red needles, m. 178-9° (MeOH); and yellow needles, m. 142-4° (more soluble than other forms). Also formed was 45% brown 2-(N-alanyl)-5-aziridino-2,6-dichloro-1,4-benzoquinone Me ester, m. 121-2°. 2,5-Diaziridino-3,6-dichlorobenzoquinone (II) and α-alanine Et ester in EtOH-Et3N at 60-5° gave 15% I, regardless of duration of the reaction; 2,6-diaziridino-3,5-dichlorobenzoquinone (III) gave but 10% I under similar conditions. II and α-alanine Me ester gave 16% Ia, also formed in a similar reaction with III. Chloranil and α-alanine Et ester in EtOAc in 2 hrs. at 70° gave 50% I, while α-alanine Me ester gave 46% Ia. 2-Aziridino-3,5,6-trichloro-1,4-benzoquinone and 5% HCl gave in 2 hrs. 100% brown β-chloroethylaminotrichloro-p-benzoquinone, m. 107-8°. II similarly gave 100% 2,5-bis(2-chloroethylamino)-3,6-dichloro-p-benzoquinone, m. 209-10°; the reaction with 18.5% HCl required but about 4 min. Similarly was prepared 100% green 2,6-bis(2-chloroethylamino)-3,5-dichloro-p-benzoquinone, m. 129-30°.

L3 ANSWER 53 OF 60 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1962:82494 CAPLUS
DOCUMENT NUMBER: 56:82494
ORIGINAL REFERENCE NO.: 56:16097h-i
TITLE: Influence of 2,3,5-tris(ethyleneimino)-p-benzoquinone on the synthesis of C14-labeled amino acids and anaerobicglycolysis of Yoshida ascites tumor cells
AUTHOR(S): Hoezel, Fritz
CORPORATE SOURCE: Univ. Clin., Hamburg-Eppendorf, Germany
SOURCE: Z. Naturforsch. (1961), 16b, 792-801
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB 2,3,5-Tris(ethylenimino)benzoquinone inhibited anaerobic glycolysis and synthesis of alanine-C14. The adenosine triphosphate content of the cells decreased by 80%, and the adenosine monophosphate increased slightly. Further incubation resulted in a decrease in total nucleotide content.

Nicotinamide interfered with the inhibition of glycolysis.

L3 ANSWER 54 OF 60 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1962:56431 CAPLUS
DOCUMENT NUMBER: 56:56431
ORIGINAL REFERENCE NO.: 56:10779i,10780a-b
TITLE: Metabolism of rat ascites tumor with nitrogen mustard-sensitive and -resistant strains. V. P32-incorporation into ribonucleic acid in vitro
AUTHOR(S): Miura, Yoshiaki; Raphaelle, Sister; Katayama, Hisashi
CORPORATE SOURCE: Univ. Tokyo
SOURCE: J. Biochem. (Tokyo) (1961), 50, 355-61
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. CA 55, 26194i. P32-incorporation into ribonucleic acids (I) of the cells of Yoshida sarcoma, rat ascites hepatoma, AH 130(N-mustard (II)sensitive), and AH 7974 (II-resistant) strains, grown in Krebs-Ringer medium containing 5% glucose, is accelerated by the addition of ascitic fluid or
serum of the rats bearing resp. tumors, by pressed juice of regenerating liver of tumor-free rat, or adenosine triphosphate (ATP). P32 is incorporated to guanylic and cytidylic acids of I of the tumor cells at higher rates than that to adenylic and uridylic adds. The addition of 10-3M II lowers P32 incorporation to I of AH 130 and, to a lesser extent, that to adenylic and uridylic acids of I of AH 7974. Triethyleniminothiophosphoramide (10-3M) inhibits P32 incorporation to I in both AH 130 and AH 7974. These inhibitory effects are counteracted by ATP.

L3 ANSWER 55 OF 60 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1964:495456 CAPLUS
DOCUMENT NUMBER: 61:95456
ORIGINAL REFERENCE NO.: 61:16638f-g
TITLE: The influence on the energy balance of tumor cells by Trenimon
AUTHOR(S): Puetter, Johann
CORPORATE SOURCE: Farbenfabriken Bayer A.-G., Wuppertal, Germany
SOURCE: Strahlentherapie, Sonderbaende (1961), 48(4), 118-26
From: CZ 1963(28), 12066.
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. CA 60, 15006g. Observations were made on the effect of various concns. of Trenimon (I) on the energy-producing reactions and energy storage in Ehrlich and Yoshida ascites cells. O uptake during energy production in the presence of glucose, with or without the presence of 0.01M nicotinamide, was reduced by 2 μM I. Aerobic glycolysis was activated at a 4 μM concentration of I and was inhibited at an 8 μM concentration
The inhibiting effect at this concentration cannot be due to a reduction in hexokinase activity. The concentration of nicotinamide adenine dinucleotide (NAD) and adenosine triphosphate (ATP) were both reduced in Ehrlich ascites cells by I. The neutralization of oxidative phosphorylation, as a result of the influence of I on the P/O ratio, was not related to the antitumor effect of I.

L3 ANSWER 56 OF 60 DGENE (C) 2003 THOMSON DERWENT
ACCESSION NUMBER: AAZ91032 DNA DGENE

TITLE: New aziridine derivatives are cofactors for methyltransferases, useful for modifying target molecules -
INVENTOR: Pignot M; Weinhold E
PATENT ASSIGNEE: (PLAC)MAX PLANCK GES FOERDERUNG WISSENSCHAFTEN.
PATENT INFO: WO 2000006587 A1 20000210 49p
APPLICATION INFO: WO 1999-EP5405 19990728
PRIORITY INFO: EP 1998-114201 19980729
DOCUMENT TYPE: Patent
LANGUAGE: English
OTHER SOURCE: 2000-195253 [17]
AN AAZ91032 DNA DGENE
AB Oligonucleotides AAZ91031 and AAZ91032 represent examples of target oligonucleotides for S-**adenosyl**-L-methionine-dependent (SAM) methyltransferases (MTase) using a novel cofactor comprising an **aziridine** derivative. The novel **aziridine** derivatives, or compounds containing them, can be used as cofactors for the modification of target molecules such as nucleic acid molecules (e.g. DNA, RNA or hybrids), polypeptides (e.g. a protein or a fusion protein comprising a methylation site), synthetic polymers and small molecules (e.g. lipids).

L3 ANSWER 57 OF 60 DGENE (C) 2003 THOMSON DERWENT
ACCESSION NUMBER: AAZ91031 DNA DGENE
TITLE: New aziridine derivatives are cofactors for methyltransferases, useful for modifying target molecules -
INVENTOR: Pignot M; Weinhold E
PATENT ASSIGNEE: (PLAC)MAX PLANCK GES FOERDERUNG WISSENSCHAFTEN.
PATENT INFO: WO 2000006587 A1 20000210 49p
APPLICATION INFO: WO 1999-EP5405 19990728
PRIORITY INFO: EP 1998-114201 19980729
DOCUMENT TYPE: Patent
LANGUAGE: English
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AN AAZ91031 DNA DGENE
AB Oligonucleotides AAZ91031 and AAZ91032 represent examples of target oligonucleotides for S-**adenosyl**-L-methionine-dependent (SAM) methyltransferases (MTase) using a novel cofactor comprising an **aziridine** derivative. The novel **aziridine** derivatives, or compounds containing them, can be used as cofactors for the modification of target molecules such as nucleic acid molecules (e.g. DNA, RNA or hybrids), polypeptides (e.g. a protein or a fusion protein comprising a methylation site), synthetic polymers and small molecules (e.g. lipids).

L3 ANSWER 58 OF 60 WPIDS (C) 2003 THOMSON DERWENT
ACCESSION NUMBER: 1972-66835T [42] WPIDS
TITLE: Isoxazolo (3,4-b) pyridin-5-ketone derivs - tranquillisers and antiasthmatic agents.
DERWENT CLASS: B02
PATENT ASSIGNEE(S): (CHEB) CHEMISCHE FABRIK VON HEYD; (SQUI) SQUIBB & SONS INC E R
COUNTRY COUNT: 7
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
DE 2215087	A		(197242)*		

FR 2132188	A		(197307)
US 3736327	A		(197324)
CH 550195	A	19740614	(197430)
GB 1391936	A	19750423	(197517)
US 3933823	A	19760120	(197605)
CA 996934	A	19760914	(197640)
JP 47034296	A	19721120	(198347)

PRIORITY APPLN. INFO: US 1971-129198 19710329
AN 1972-66835T [42] WPIDS
AB DE 2215087 A UPAB: 19930831
Isoxazole (3,4-b)pyridine-5-ketones of formula: (where R1 is H or alkyl; R11 and R3 = H, alkyl, Ph-alkyl, alkylaminoalkylene or R5R6- substd. Ph where R5 and R6=Hal or CF3, or NR11R3 = R7R8-substd. **aziridinyl**, -pyrrolidino, -piperidino, -pyrazolyl, -pyrimidyl, -pyrazidinyl, -piperazinyl, R7 and R8 being H, alkyl or HO-alkyl; R4 = alkyl, cycloalkyl, or opt. substd. Ph, all opt. substd. with HO or HO-alkyl). Specifically R1-R4 = alkyl; R11 = H and R1,R3 = alkyl; R1 and R4 = Me with R3=Bu. The cpds. and their acid addition salts are CNS transquillisers and antiasthmatic agents increasing the intracellular concentration of **adenosine**-31,51-cyclomonophosphate. Preparation is by reaction of primary or sec. amines with 5-acyl-4-Cl-isoxazolo(3,4-b)pyridines.

L3 ANSWER 59 OF 60 BABS COPYRIGHT 2003 BEILSTEIN CDS MDLI
ACCESSION NUMBER: 6210935 BABS
TITLE: Palladium-Catalyzed Amination of 6-Chloropurine. Synthesis of N%6&-Substituted Adenosine Analogues
AUTHOR(S): Barends, Judith; Linden, Johannes B. van der; Delft, Floris L. van; Koomen, Gerrit-Jan
SOURCE: Nucleosides Nucleotides (1999), 18(9), 2121 - 2126
CODEN: NUNUD5
DOCUMENT TYPE: Journal
LANGUAGE: English
SUMMARY LANGUAGE: English
AN 6210935 BABS
AB Room-temperature treatment of persilylated 6-chloro-9-$b-D-ribofuranosyl-purine with a variety of aliphatic and aromatic amines, in the presence of Pd2(dba)3, BIANP and base, leads to N%6&-substituted **adenosine** analogues in fair to good yields. Coupling of chloropurine with a chiral **aziridinyl** diester is applied in the synthesis of a potential adenylosuccinate lyase inhibitor.

L3 ANSWER 60 OF 60 CONFSCI COPYRIGHT 2003 CSA
ACCESSION NUMBER: 74:36171 CONFSCI
DOCUMENT NUMBER: 75024715
TITLE: Reactions of **aziridines**, beta-lactones & epoxides with **adenosine** & guanosine.
AUTHOR: Roe, R...
SOURCE: Abstracts, Dec 74; $3.00: Dr. D. W. E. Billups, Dept. of Chemistry, Rice University, Houston, Texas 77001..
Meeting Info.: 30th Southwest Regional Meeting of American Chemical Society (A744053). Houston, Texas. 9-11 Dec 74. American Chemical Society (Southwest Region).
DOCUMENT TYPE: Conference Article
FILE SEGMENT: DCCP

LANGUAGE: UNAVAILABLE